Connecting via Winsock to STN

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* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:47:47 ON 30 DEC 2009

=> file reg

=>
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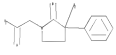
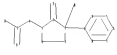




chain nodes :
6 7 8 9 11 20
ring nodes :
1 2 3 4 5 13 14 15 16 17 18
chain bonds :
1-11 2-13 2-20 5-6 6-7 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
1-5 1-11 2-20 4-5 5-6 7-8 7-9
exact bonds :

1-2 2-3 2-13 3-4 6-7
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 : 13 :

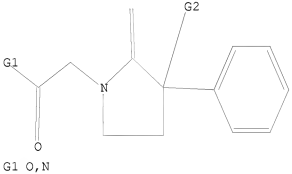
G1:O,N

G2:H,O,N,CN,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
11:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,N
G2 H,O,N,CN,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 09:48:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 999 TO ITERATE

100.0% PROCESSED 999 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

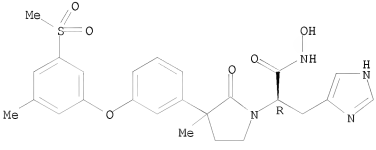
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 18084 TO 21876
PROJECTED ANSWERS: 10625 TO 13575

L2 50 SEA SSS SAM L1

=> d scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Imidazole-5-propanamide, N-hydroxy-α-[3-methyl-3-[3-[3-methyl-5-(methylsulfonyl)phenoxy]phenyl]-2-oxo-1-pyrrolidinyl]-, (αR)-
MF C25 H28 N4 O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

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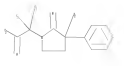
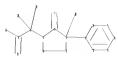
Uploading C:\Program Files\Stnexp\Queries\12585420.str





chain nodes :
6 7 8 9 11 20 22 23
ring nodes :
1 2 3 4 5 13 14 15 16 17 18
chain bonds :
1-11 2-13 2-20 5-6 6-7 6-22 6-23 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
1-5 1-11 2-20 4-5 5-6 6-22 6-23 7-8 7-9
exact bonds :
1-2 2-3 2-13 3-4 6-7
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 : 13 :

G1:O,N

G2:H,O,N,CN,Ak

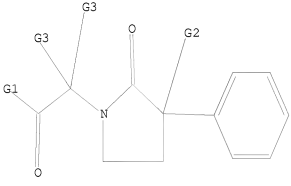
G3:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
11:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 22:CLASS
23:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR



G1 O,N

G2 H,O,N,CN,Ak

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sam
SAMPLE SEARCH INITIATED 09:50:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 999 TO ITERATE

100.0% PROCESSED 999 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

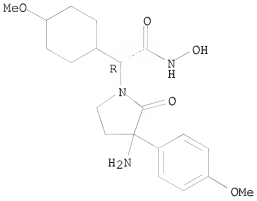
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 18084 TO 21876
PROJECTED ANSWERS: 10625 TO 13575

L4 50 SEA SSS SAM L3

=> d scan

L4 50 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(4-methoxycyclohexyl)-3-(4-methoxyphenyl)-2-oxo-, (αR)-
MF C20 H29 N3 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

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FULL SEARCH INITIATED 09:50:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    19891 TO ITERATE

100.0% PROCESSED    19891 ITERATIONS                          11832 ANSWERS
SEARCH TIME: 00.00.05

L5        11832 SEA SSS FUL L3

=> file ca

=> s l5
L6          83 L5

=> d ibib abs fhitstr 1-83

L6   ANSWER 1 OF 83  CA  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        151:93250  CA
TITLE:                   Studies on novel 2-imidazolidinones and
                         tetrahydropyrimidin-2(1H)-ones as potential TACE
                         inhibitors: Design, synthesis, molecular modeling, and
                         preliminary biological evaluation
AUTHOR(S):               DasGupta, Shirshendu; Murumkar, Prashant R.; Giridhar,
                         Rajani; Yadav, Mange Ram
CORPORATE SOURCE:        Pharmacy Department, Faculty of Technology and
                         Engineering, Kalabhavan, The M. S. University of
                         Baroda, Kalabhavan, Gujarat, 390 001, India
SOURCE:                  Bioorganic & Medicinal Chemistry (2009), 17(10),
                         3604-3617
                         CODEN: BMECEP; ISSN: 0968-0896
```

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 151:93250

AB Compds. belonging to the class of 2-imidazolidinones and tetrahydropyrimidin-2(1H)-ones were synthesized and evaluated for their TACE inhibitory activity. Most of the compds. showed very good TACE inhibitory activity. Docking study clearly indicates importance of the P1' group of the inhibitor for the TACE inhibitory activity. This work proves that these two classes of mols. could be used as potential leads for the development of TACE inhibitors.

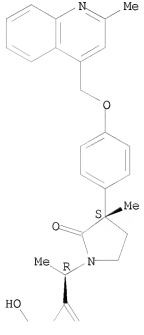
IT 478911-60-3, Ik-682
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(imidazolidinones and tetrahydropyrimidinones preparation as potential TACE inhibitors)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:450320 CA
TITLE: Development and Large-Scale Preparation of an Oral TACE Inhibitor
AUTHOR(S): Savage, Scott A.; Waltermire, Robert E.; Campagna, Silvio; Bordawekar, Shailendra; Dalla Riva Toma, Joan
CORPORATE SOURCE: Research and Development, Bristol-Myers Squibb Company, New Brunswick, NJ, 08903, USA
SOURCE: Organic Process Research & Development (2009), 13(3), 510-518
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

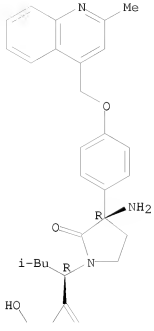
AB An efficient, expedient synthesis of BMS-561392, 1, which enabled rapid delivery of the substance for drug development is described. The key features are efficient synthesis of a phenolic α,α-disubstituted amino ester via carbon alkylation without protection of the phenol, effective enzymic resolution of this racemic amino ester, and a process for the preparation of the hydroxamic acid drug with undetectable levels of hydroxylamine.

IT 611227-74-8P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); PREP (Preparation)
(process development and scale up synthesis and purification of BMS-561392 oral TACE inhibitor)

RN 611227-74-8 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:229201 CA
TITLE: Development of predictive 3D-QSAR CoMFA and CoMSIA models for β-aminohydroxamic acid-derived tumor necrosis factor-α converting enzyme inhibitors
AUTHOR(S): Murumkar, Prashant R.; Das Gupta, Shirshendu; Zambre, Vishal P.; Giridhar, Rajani; Yadav, Mange Ram
CORPORATE SOURCE: Pharmacy Department, Faculty of Technology and Engineering, Kalabhavan, The M. S. University of Baroda, Vadodara, 390001, India
SOURCE: Chemical Biology & Drug Design (2009), 73(1), 97-107 CODEN: CBDDAL; ISSN: 1747-0277
PUBLISHER: Wiley-Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A three-dimensional quant. structure-activity relationship study was

performed on a series of β-aminohydroxamic acid-derived tumor necrosis factor-α converting enzyme inhibitors employing comparative mol. field anal. and comparative mol. similarity indexes anal. techniques to investigate the structural requirements for the inhibitors, and derive a predictive model that could be used for the design of novel tumor necrosis factor-α converting enzyme inhibitors. Log P was used as an addnl. descriptor in the comparative mol. field anal. anal. to study the effects of lipophilic parameters on activity. Inclusion of log P did not improve the models significantly. The statistically significant model was established with 45 mols., which were validated by a test set of 11 compds. Ligand mol. superimposition on the template structure was performed by the atom-/shape-based root mean square fit and database alignment methods. Docked conformer based alignment (V) yielded the best predictive comparative mol. field anal. model r2cv = 0.673, r2ncv = 0.860, F-value = 86.073, predictive r2 = 0.642, with two components, standard error of prediction = 0.394 and standard error of ests. = 0.243 while the comparative mol. similarity indexes anal. model yielded r2cv = 0.635, r2ncv = 0.858, F-value = 84.451, predictive r2 = 0.441 with three components, standard error of prediction = 0.393 and standard error of ests. = 0.245. The contour maps obtained from three-dimensional quant. structure-activity relationship studies were appraised for activity trends for the mols. analyzed. The comparative mol. field anal. models exhibited good external predictivity as compared with that of comparative mol. similarity indexes anal. models. The model generated through comparative mol. field anal. was validated with the IK-682. The data generated from this study may guide our efforts in designing and predicting the tumor necrosis factor-α converting enzyme inhibitory activity of novel mols.

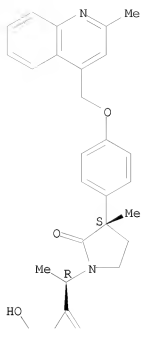
IT 478911-60-3, IK-682
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3D-QSAR CoMFA and CoMSIA models for aminohydroxamic acid-derived TNF-α converting enzyme inhibitors)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:136096 CA
TITLE: Discovery of novel spirocyclopropyl hydroxamate and carboxylate compounds as TACE inhibitors
AUTHOR(S): Guo, Zhuyan; Orth, Peter; Wong, Shing-Chun; Lavey, Brian J.; Shih, Neng-Yang; Niu, Xiaoda; Lundell, Daniel J.; Madison, Vincent; Kozlowski, Joseph A.
CORPORATE SOURCE: Department of Chemistry, Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(1), 54-57
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:136096

AB We have discovered nanomolar inhibitors of TNF-α convertase (TACE) comprised of a novel spirocyclic scaffold and either a carboxylate or hydroxamate zinc binding moiety. X-ray crystal structures and computer models of selected compds. binding to TACE explain the observed SAR. We report the first TACE X-ray crystal structure for an inhibitor with a carboxylate zinc ligand.

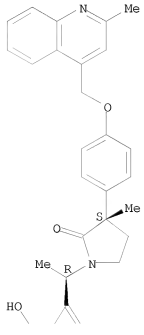
IT 478911-60-3, IK 682
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Discovery of novel spirocyclopropyl hydroxamate and carboxylate compds. as TACE inhibitors)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:90600 CA

TITLE: TNF-α-converting enzyme (TACE) inhibitors for the treatment of acne, and screening method
INVENTOR(S): Aubert, Jerome; Carlavan, Isabelle; Voegel, Johannes
PATENT ASSIGNEE(S): Galderma Research & Development, Fr.
SOURCE: PCT Int. Appl., 24pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009004247	A2	20090108	WO 2008-FR51085	20080618
WO 2009004247	A3	20090430		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
FR 2917427	A1	20081219	FR 2007-55819	20070618
FR 2917427	B1	20090821		

PRIORITY APPLN. INFO.: FR 2007-55819 A 20070618

AB The invention discloses an in vitro method for screening for candidate compds. for the preventive or curative treatment of acne, comprising the determination of the ability of a compound to inhibit the expression or the activity of TACE. The invention also discloses the use of inhibitors of the expression or the activity of TACE for treating acne.

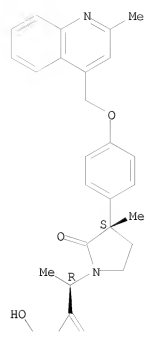
IT 478911-60-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TNF-α-converting enzyme (TACE) inhibitors for treatment of acne, and screening method)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L6 ANSWER 6 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:639 CA
TITLE: Effects of TNFα-converting enzyme inhibition on amyloid β production and APP processing in vitro and in vivo
AUTHOR(S): Kim, Minkyu L.; Zhang, Bin; Mills, Ian P.; Milla, Marcos E.; Brunden, Kurt R.; Lee, Virginia M.-Y.
CORPORATE SOURCE: Center for Neurodegenerative Disease Research, Department of Pathology and Laboratory Medicine, University of Pennsylvania School of Medicine, Philadelphia, PA, 19104, USA
SOURCE: Journal of Neuroscience (2008), 28(46), 12052-12061
CODEN: JNRSDS; ISSN: 0270-6474
PUBLISHER: Society for Neuroscience
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Tumor necrosis factor-α (TNFα) is a proinflammatory cytokine that is elevated in Alzheimer's disease (AD) brains. Because TNFα is released from cell membranes by the TNFα-converting enzyme (TACE), inhibition of TACE has the potential to mitigate TNFα

effects in AD brain. TACE also cleaves amyloid precursor protein (APP) and generates sAPPα, precluding the formation of potentially harmful amyloid β (Aβ) peptides by β-site APP cleaving enzymes (BACE). Hence, the anti-inflammatory benefits of TACE inhibition might be offset by an increase in Aβ. We have examined the effects of the highly selective TACE inhibitor, BMS-561392, on APP processing in vitro and in vivo. In Chinese hamster ovary cells expressing APP, BMS-561392 significantly reduced secretion of sAPPα without a corresponding increase in Aβ production Conversely, a BACE inhibitor decreased sAPPβ and Aβ peptides with no change in the secretion of sAPPα. These data indicate an absence of TACE and BACE competition for the APP substrate. Despite this, we observed competition for APP when TACE activity was enhanced via phorbol ester treatment or if APP was modified such that it was retained within the trans-Golgi network (TGN). These results suggest that BACE and TACE share a common TGN localization, but under normal conditions do not compete for APP. To confirm this finding in vivo, BMS-561392 was infused into the brains of Tg2576 and wild-type mice. Although decreased brain sAPPα levels were observed, steady-state Aβ levels were not significantly changed. Accordingly, it is possible that TACE inhibitors could reduce TNFα levels without increasing Aβ levels within the AD brain.

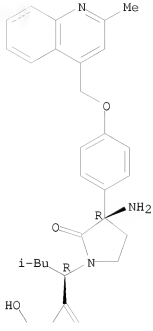
IT 611227-74-8, BMS-561392
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of TNFα-converting enzyme inhibition on amyloid β production and APP processing in vitro and in vivo)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:524299 CA
TITLE: Drug Insight: tumor necrosis factor-converting enzyme as a pharmaceutical target for rheumatoid arthritis
AUTHOR(S): Moss, Marcia L.; Sklair-Tavron, Liora; Nudelman, Raphael
CORPORATE SOURCE: BioZyme Inc, Apex, NC, 27523, USA
SOURCE: Nature Clinical Practice Rheumatology (2008), 4(6), 300-309
CODEN: NCPRCF; ISSN: 1745-8382
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Drugs that inhibit tumor necrosis factor (TNF) provide considerable benefit in treatment of rheumatoid arthritis (RA); however, there is an unmet medical need for alternative therapies with higher clin. benefit and lower safety risk and cost. The potential to treat RA by targeting TNF-converting enzyme, which promotes the release of soluble TNF

from its membrane-bound precursor, is outlined in this Review. The success of agents that inhibit tumor necrosis factor (TNF), such as infliximab, adalimumab and etanercept, has led to a desire for orally available small mols. that have a better safety profile and are less costly to produce than current agents. One target for anti-TNF therapy that is currently under investigation is TNF-converting enzyme, which promotes the release of soluble TNF from its membrane-bound precursor. Inhibitors of this enzyme with drug-like properties have been made and tested in the clinic. These inhibitors include TMI-005 and BMS-561392, both of which have entered into phase II clin. trials. This article summarizes preclin. and clin. findings regarding the use of inhibitors of TNF-converting enzyme for the treatment of rheumatoid arthritis.

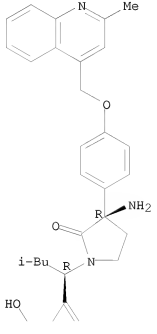
IT 611227-74-8, BMS-561392
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tumor necrosis factor-converting enzyme inhibitors such as TMI-005 and BMS-561392 may be beneficial for treatment of patient with rheumatoid arthritis)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:576330 CA
TITLE: Role of P-glycoprotein and the intestine in the excretion of DPC 333 in rodents
AUTHOR(S): Garner, C. Edwin; Solon, Eric; Lai, Chii-Ming; Lin, Jianrong; Luo, Gang; Jones, Kevin; Duan, Jingwu; Decicco, Carl P.; Maduskuie, Thomas; Mercer, Stephen E.; Gan, Lian-Shen; Qian, Mingxin; Prakash, Shimoga; Shen, Huey-Shin; Lee, Frank W.
CORPORATE SOURCE: Infection and Cancer Discovery, AstraZeneca PLC, Waltham, MA, USA
SOURCE: Drug Metabolism and Disposition (2008), 36(6), 1102-1110
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

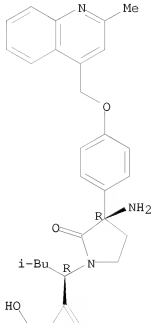
AB The role of the intestine in the elimination of (2R)-2-{(3R)-3-amino-3-[4-(2-methylquinolin-4-ylmethoxy)phenyl]-2-oxopyrrolidin-1-yl}-N-hydroxy-4-methylpentanamide (DPC 333), a potent inhibitor of tissue necrosis factor α-converting enzyme, was investigated in mice and rats in vivo and in vitro. In Madine-Darby canine kidney cells stably transfected with P-glycoprotein (P-gp) and DPC 333, the transport from B→A reservoirs exceeded the transport from A→B by approx. 7-fold. In Caco-2 monolayers and isolated rat ileal mucosa, DPC 333 was transported from basolateral to apical reservoirs in a concentration-dependent, saturable manner, and transport was blocked by N-(4-[2-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-isoquinolinyl)ethyl]-phenyl)-9,10-dihydro-5-methoxy-9-oxo-4-acridine carboxamide (GF120918), confirming the contribution of P-gp/breast cancer resistance protein in B→A efflux of DPC 333. In quant. whole body autoradiog. studies with [14C]DPC 333 in mice and rats, radioactivity was distributed throughout the small intestine in both species. In GF120918-pretreated bile duct-cannulated rats, radioactivity in feces was reduced 60%. Using the in situ perfused rat intestine model, .apprx.20% of an i.v. dose of [14C]DPC 333 was measured in the intestinal lumen within 3 h postdose, 12% as parent. Kinetic anal. of data suggested that excreted DPC 333 may be further metabolized in the gut. Intestinal clearance was 0.2 to 0.35 l/h/kg. The above data suggest that in the rodent the intestine serves as an organ of DPC 333 excretion, mediated in part by the transporter P-gp.

IT 611227-74-8, DPC 333
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(role of P-glycoprotein and the intestine in excretion of DPC 333 in rodents)
RN 611227-74-8 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

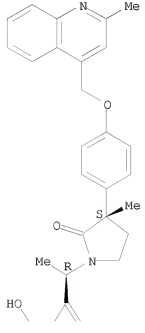
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:528846 CA
TITLE: Potent, selective, orally bioavailable inhibitors of tumor necrosis factor-α converting enzyme (TACE): Discovery of indole, benzofuran, imidazopyridine and pyrazolopyridine P1' substituents
AUTHOR(S): Lu, Zhonghui; Ott, Gregory R.; Anand, Rajan; Liu, Rui-Qin; Covington, Maryanne B.; Vaddi, Krishna; Qian,

Mingxin; Newton, Robert C.; Christ, David D.; Trzaskos, James; Duan, James J.-W.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(6), 1958-1962
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:528846
AB Potent and selective inhibitors of tumor necrosis factor-α converting enzyme (TACE) were discovered with several new heterocyclic P1' groups in conjunction with cyclic β-amino hydroxamic acid scaffolds. Among them, the pyrazolopyridine provided the best overall profile when combined with tetrahydropyran β-amino hydroxamic acid scaffold. Specifically, inhibitor 49 showed IC50 value of 1 nM against porcine TACE and 170 nM in the suppression of LPS-induced TNF-α of human whole blood. Compound 49 also displayed excellent selectivity over a wide panel of MMPs as well as excellent oral bioavailability (F% > 90%) in rat n-in-1 PK studies.
IT 478911-60-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral TACE inhibitors: discovery of indole, benzofuran, imidazopyridine and pyrazolopyridine P1' substituents)
RN 478911-60-3 CA
CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



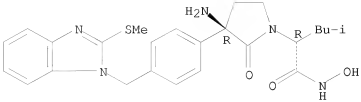
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:528835 CA
TITLE: Potent, exceptionally selective, orally bioavailable inhibitors of TNF-α Converting Enzyme (TACE): Novel 2-substituted-1H-benzo[d]imidazol-1-yl)methyl)benzamide P1' substituents
AUTHOR(S): Ott, Gregory R.; Asakawa, Naoyuki; Lu, Zhonghui; Anand, Rajan; Liu, Rui-Qin; Covington, Maryanne B.; Vaddi, Krishna; Qian, Mingxin; Newton, Robert C.; Christ, David D.; Trzaskos, James M.; Duan, James J.-W.
CORPORATE SOURCE: Departments of Discovery Chemistry and Discovery Biology, Bristol-Myers Squibb Research and Development, Princeton, NJ, 08543, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(5), 1577-1582
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:528835

AB Novel ((2-substituted-1H-benzo[d]imidazol-1-yl)methyl)benzamides were found to be excellent P1' substituents in conjunction with unique constrained β-amino hydroxamic acid scaffolds for the discovery of potent selective inhibitors of TNF-α Converting Enzyme (TACE). Optimized examples proved potent for TACE, exceptionally selective over a wide panel of MMP and ADAM proteases, potent in the suppression of LPS-induced TNF-α in human whole blood and orally bioavailable.

IT 1023283-73-9
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral inhibitors of TACE: novel 2-substituted-1H-benzo[d]imidazol-1-yl)methyl)benzamides)
RN 1023283-73-9 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[[2-(methylthio)-1H-benzimidazol-1-yl]methyl]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:515752 CA
TITLE: Compositions and methods comprising TNF-α-inhibiting compounds and immune response enhancer for treating and preventing anthrax lethality
INVENTOR(S): Martin, Edward N., Jr.; Scheld, W. Michael
PATENT ASSIGNEE(S): University of Virginia Patent Foundation, USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008054532	A2	20080508	WO 2007-US11119	20070508
WO 2008054532	A9	20080626		
WO 2008054532	A3	20081127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007314542	A1	20080508	AU 2007-314542	20070508
CA 2651775	A1	20080508	CA 2007-2651775	20070508
EP 2012820	A2	20090114	EP 2007-867107	20070508
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: US 2006-798468P P 20060508
WO 2007-US11119 W 20070508

AB The present invention provides compns. and methods for preventing and inhibiting anthrax lethality. The present invention relates to protect a subject from anthrax lethality by presensitizing a subject prior to

anthrax infection. The present invention further provides compns. and methods for enhancing the innate system to inhibit anthrax-associated lethality. The invention further provides compns. and methods for preventing and inhibiting lethality due to infection regulated via a TNF-α pathway. The compns. and methods comprise TNF-α-inhibiting compds. selected from peptide, protein, nucleic acid, antisense oligonucleotide, siRNA, aptamer, kinase inhibitor, soluble TNFR1 receptor or antibody.

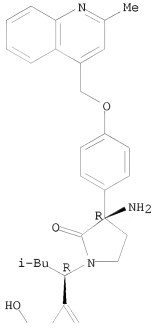
IT 611227-74-8, BMS561392
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods comprising TNF-α-inhibiting compds. and immune response enhancer for treating and preventing anthrax lethality)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



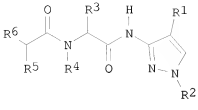
PAGE 2-A

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 12 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:472035 CA
TITLE: Preparation of acylaminopyrazoles as thrombin inhibitors
INVENTOR(S): Bauser, Marcus; Buchmueller, Anja; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Gerdes, Christoph; Gnoth, Mark Jean; Gottschling, Dirk; Heitmeier, Stefan; Hendrix, Martin; Koebberling, Johannes; Lang, Dieter; Rester, Ulrich; Saatmann, Uwe; Tersteegen, Adrian; Bruens, Astrid
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: PCT Int. Appl., 96pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008046527	A1	20080424	WO 2007-EP8657	20071005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102006048924	A1	20080424	DE 2006-102006048924	20061017
CA 2666401	A1	20080424	CA 2007-2666401	20071005
EP 2102168	A1	20090923	EP 2007-818733	20071005
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			DE 2006-102006048924A	20061017
			WO 2007-EP8657 W	20071005

OTHER SOURCE(S): MARPAT 148:472035
GI



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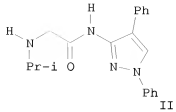

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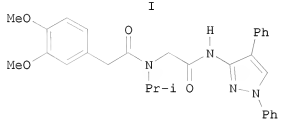


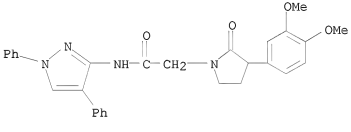
III

AB Title compds. I [R1 = Ph, 5 or 6-membered heteroaryl; R2 = Ph, 5 or 6-membered heteroaryl; R3 = H; R4 = alkyl, alkenyl, cycloalkyl; R5 = H, halo, OH, etc.; R6 = Ph, 5 or 6-membered heteroaryl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of amine II and 3,4-dimethoxybenzeneacetic acid afforded acylaminopyrazole III in 92% yield. In thrombin inhibition assays, 7-examples of compds. I exhibited IC50 values ranging from 0.48-34 nM.

IT 1020653-31-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminopyrazoles as thrombin inhibitors)

RN 1020653-31-9 CA

CN 1-Pyrrolidineacetamide, 3-(3,4-dimethoxyphenyl)-N-(1,4-diphenyl-1H-pyrazol-3-yl)-2-oxo- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

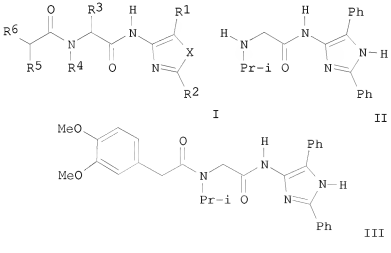
L6 ANSWER 13 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:449634 CA
TITLE: Preparation of acyl aminoimidazoles for the treatment of thromboembolic diseases
INVENTOR(S): Bauser, Marcus; Buchmueller, Anja; Von Degenfeld, Georges; Dittrich-Wengenroth, Elke; Gerdes, Christoph; Gnoth, Mark Jean; Gottschling, Dirk; Heitmeier, Stefan; Hendrix, Martin; Koebberling, Johannes; Lang,

Dieter; Rester, Ulrich; Saatmann, Uwe; Tersteegen, Adrian; Bruens, Astrid

PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: PCT Int. Appl., 95pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008043533	A2	20080417	WO 2007-EP8789	20071010
WO 2008043533	A3	20080703		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
DE 102006048042	A1	20080417	DE 2006-102006048042	20061011
CA 2666177	A1	20080417	CA 2007-2666177	20071010
EP 2079708	A2	20090722	EP 2007-818862	20071010
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			DE 2006-102006048042A	20061011
			WO 2007-EP8789 W	20071010

OTHER SOURCE(S): MARPAT 148:449634
GI



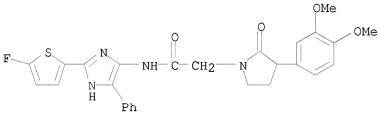
I II III

AB Title compds. I [X = NH, S; R1 = Ph, 5 or 6-membered heteroaryl ring; R2 = Ph, 5 or 6-membered heteroaryl ring; R3 = H, Me; R4 = alkyl, alkenyl, cycloalkyl; R5 = H, halo, OH, etc.; R6 = Ph, 5 or 6-membered heteroaryl ring] and their pharmaceutically acceptable salts and formulations were prepared For example, carbodimmide coupling of 3,4-dimethoxyphenylacetic acid and amine II afforded aminoimidazole III in 88% yield. In thrombin inhibition assays, 6-examples of compds. I exhibited IC50 values ranging from 0.7-42 nM.

IT 1019703-77-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acyl aminoimidazoles for the treatment of thromboembolic diseases)

RN 1019703-77-5 CA

CN 1-Pyrrolidineacetamide, 3-(3,4-dimethoxyphenyl)-N-[2-(5-fluoro-2-thienyl)-4-phenyl-1H-imidazol-5-yl]-2-oxo- (CA INDEX NAME)



L6 ANSWER 14 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 147:439861 CA

TITLE: Pharmacokinetics and pharmacodynamics of DPC 333 ((2R)-2-((3R)-3-amino-3{4-[2-methyl-4-quinolinyl) methoxy] phenyl}-2-oxopyrrolidinyl)-N-hydroxy-4-methylpentanamide)), a potent and selective inhibitor of tumor necrosis factor α-converting enzyme in rodents, dogs, chimpanzees, and humans

AUTHOR(S): Qian, Mingxin; Bai, Stephen A.; Brogdon, Bernice; Wu, Jing-Tao; Liu, Rui-Qin; Covington, Maryanne B.; Vaddi, Kris; Newton, Robert C.; Fossler, Michael J.; Garner, C. Edwin; Deng, Yuzhong; Maduskuie, Thomas; Trzaskos, James; Duan, James J.-W.; Decicco, Carl P.; Christ, David D.

CORPORATE SOURCE: Metabolism and Pharmacokinetics, Bristol-Myers Squibb Company, Princeton, NJ, USA

SOURCE: Drug Metabolism and Disposition (2007), 35(10), 1916-1925
CODEN: DMDSAI; ISSN: 0090-9556

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB DPC 333 is a potent and selective inhibitor of tumor necrosis factor (TNF)-α-converting enzyme (TACE). It significantly inhibits lipopolysaccharide-induced soluble TNF-α production in blood from rodents,

chimpanzee, and human, with IC50 values ranging from 17 to 100 nM. In rodent models of endotoxemia, DPC 333 inhibited the production of TNF-α in a dose-dependent manner, with an oral ED50 ranging from 1.1 to 6.1 mg/kg. Oral dosing of DPC 333 at 5.5 mg/kg daily for 2 wk in a rat collagen antibody-induced arthritis model suppressed the maximal response by approx. 50%. DPC 333 was distributed widely to tissues including the synovium, the site of action for antiarthritic drugs. Pharmacokinetic and pharmacodynamic studies in chimpanzee revealed a systemic clearance of 0.4 l/h/kg, a Vss of 0.6 l/kg, an oral bioavailability of 17%, and an ex vivo IC50 for the suppression of TNF-α production of 55 nM (n = 1). In a phase I clin. trial with male volunteers after single escalating doses of oral DPC 333, the terminal half-life was between 3 and 6 h and the ex vivo IC50 for suppressing TNF-α production was 113 nM. Measurement of the suppression of TNF-α production ex vivo may serve as a good biomarker in evaluating the therapeutic efficacy of TACE inhibitors. Overall, the pharmacol. profiles of DPC 333 support the notion that suppression of TNF-α with TACE inhibitors like DPC 333 may provide a novel approach in the treatment of various inflammatory diseases including rheumatoid arthritis, via control of excessive TNF-α production

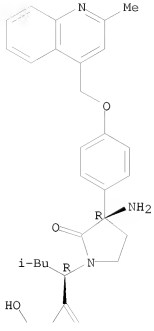
IT 611227-74-8, DPC 333
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TNF-α-converting enzyme inhibitor DPC 333 pharmacokinetics/pharmacodynamics in rodents, dogs, chimpanzees, and humans)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



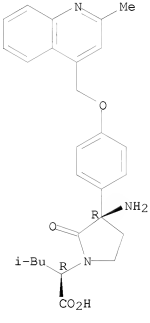
PAGE 2-A

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:385912 CA
TITLE: Synthesis and structure-activity relationship of a novel, non-hydroxamate series of TNF-α converting enzyme inhibitors
AUTHOR(S): Gilmore, John L.; King, Bryan W.; Asakawa, Naoyuki; Harrison, Kimberly; Tebben, Andrew; Sheppeck, James E.; Liu, Rui-Qin; Covington, Maryanne; Duan, James J.-W.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(16), 4678-4682
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:385912
AB A novel series of TNF-α converting enzyme (TACE) inhibitors which are non-hydroxamate have been discovered. These compds. use a triazolethione moiety as the zinc binding ligand and exhibit IC50 values from 1.5 to 100 nM in a porcine TACE assay. They also had excellent selectivities over other MMPs.
IT 950523-37-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of triazolethione derivs. via amidation of carboxylic acids with thiosemicarbazide followed by intramol. cyclization, and their TNF-α converting enzyme inhibitory activity and SAR)
RN 950523-37-2 CA
CN 1-Pyrrolidineacetic acid, 3-amino-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.



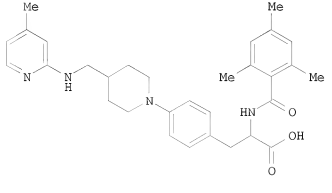
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:257752 CA
TITLE: Preparation of heterocyclic compounds as integrin inhibitors for disease treatment and diagnosis
INVENTOR(S): Zischinsky, Gunther; Stragies, Roland; Osterkamp, Frank; Scharn, Dirk; Hummel, Gerd; Kalkhof, Holger; Zahn, Grit; Vossmeyer, Doerte; Christner-Albrecht, Claudia; Reineke, Ulrich
PATENT ASSIGNEE(S): Jerini A.-G., Germany
SOURCE: PCT Int. Appl., 224pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007088041	A1	20070809	WO 2007-EP832	20070131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007211620	A1	20070809	AU 2007-211620	20070131
CA 2635403	A1	20070809	CA 2007-2635403	20070131
EP 1979342	A1	20081015	EP 2007-711423	20070131
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009525296	T	20090709	JP 2008-552739	20070131
ZA 2008004932	A	20090624	ZA 2008-4932	20080604
MX 2008008866	A	20081023	MX 2008-8866	20080709
KR 2008095854	A	20081029	KR 2008-717090	20080714
IN 2008MN01615	A	20090116	IN 2008-MN1615	20080729
CN 101379056	A	20090304	CN 2007-80004060	20080731
US 20090104116	A1	20090423	US 2008-162798	20080731

PRIORITY APPLN. INFO.: EP 2006-2005 A 20060131
WO 2007-EP832 W 20070131
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:257752
GI



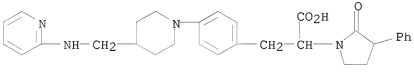
II

AB The present invention is related to a compound of formula G-Z-A-Ar-Y-Ψ (I), wherein A is a nonarom. heterocyclic ring.; Ar is either absent or phenylene; G is a radical containing one or more moieties selected from the group consisting of NH, OH and a basic moiety; Z and Y are alkyl chains containing O, S, N, etc.; Ψ is a radical of general formula C(R1)-C(R4)(COR3)-Q-R2 (wherein R1 is H alkyl, cycloalkyl, etc., R2 is a hydrophobic moiety; R3 is OH C1-C8 alkyloxy, and aryl C0-C6 alkyloxy; R4 is H, halo, or C1-C4 alkyl; Q is CO, CS, etc.). The compds. are inhibitors of integrins, especially antagonists of the fibronectin receptor α5β1, useful as anti-angiogenic agents. Preparation of I is exemplified. For example, II was prepared in a multistep synthesis involving the key step of reacting 3-(4-boronophenyl)-2-(2,4,6-trimethylbenzoylamino)propionic acid and (4-methylpyridin-2-yl)piperidin-4-ylmethylcarbamic acid tert-Bu ester. In an α5β1-fibronectin binding assay, II had an IC50 of < 100 nM. I can comprise a further moiety, preferably a moiety which is selected from the group comprising a targeted moiety, a delivery moiety, and a detection moiety.

IT 945672-23-1P, 2-(2-Oxo-3-phenylpyrrolidin-1-yl)-3-[4-[4-[(pyridin-2-yl)amino]methyl]piperidin-1-yl]phenyl]propanoic acid
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic compds. as integrin inhibitors for disease treatment and diagnosis)

RN 945672-23-1 CA

CN 1-Pyrrolidineacetic acid, 2-oxo-3-phenyl-α-[[4-[4-[(2-pyridinylamino)methyl]-1-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 147:227239 CA

TITLE: Methods, compositions, and kits using pyrimidopyrimidine derivatives and other agents for the treatment of musculoskeletal disorders and associated symptoms

INVENTOR(S): Lessem, Jan N.; Zhang, Yanzhen

PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA

SOURCE: PCT Int. Appl., 123pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007089617	A2	20070809	WO 2007-US2224		20070125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070213308	A1	20070913	US 2007-698240		20070125
JP 2009527465	T	20090730	JP 2008-552449		20070125
NO 2008003303	A	20080924	NO 2008-3303		20080728
KR 2008089512	A	20081006	KR 2008-720807		20080825
PRIORITY APPLN. INFO.:			US 2006-743178P	P	20060126
			US 2006-780028P	P	20060307
			US 2006-815657P	P	20060622
			WO 2007-US2224	W	20070125

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses methods, compns., and kits for treating a musculoskeletal disorder, e.g., osteoarthritis, or pain, fatigue, tenderness, impairment in mobility, soft tissue swelling, or bony swelling associated therewith, by administering to a patient diagnosed with or at risk of developing such pain, fatigue, tenderness, impairment in mobility, soft tissue swelling, or bony swelling a tetra-substituted pyrimidopyrimidine, e.g., dipyridamole, or an adenosine activity upregulator, in combination with one or more addnl. agents. The invention further discloses methods, compns., and kits for treating a patient diagnosed with, or at risk of developing, a musculoskeletal disorder by administering to the patient a tetra-substituted pyrimidopyrimidine or an adenosine activity upregulator in combination with one or more addnl. agents.

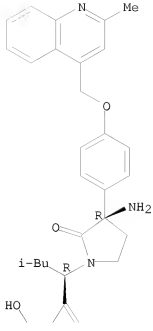
IT 611227-74-8, DPC 333
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimidopyrimidine derivs. and other agents for treatment of musculoskeletal disorders and associated symptoms)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L6 ANSWER 18 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:109058 CA
TITLE: Hydantoins, triazolones, and imidazolones as selective non-hydroxamate inhibitors of tumor necrosis factor-α converting enzyme (TACE)
AUTHOR(S): Sheppeck, James E., II; Gilmore, John L.; Tebben, Andrew; Xue, Chu-Biao; Liu, Rui-Qin; Decicco, Carl P.; Duan, James J.-W.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(10), 2769-2774
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:109058
AB We have discovered selective and potent inhibitors of TACE that replace the common hydroxamate zinc binding group with a hydantoin, triazolone, and imidazolone heterocycle. These novel heterocyclic inhibitors of a

zinc metalloprotease were designed using a pharmacophore model that we previously described while developing hydantoin and pyrimidinetrione (barbiturate) inhibitors of TACE. The potency and binding orientation of these inhibitors is discussed and they are modeled into the X-ray crystal structure of TACE and compared to hydroxamate and earlier hydantoin TACE inhibitors which share the same 4-[(2-methyl-4-quinolinyl)methoxy]benzoyl P1' group.

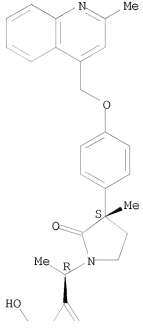
IT 478911-60-3, IK682
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of hydantoins, triazolones, and imidazolones as non-hydroxamate TACE inhibitors)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

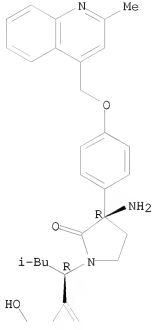
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:644 CA
TITLE: Effect of DPC 333 [(2R)-2-{(3R)-3-amino-3-[4-(2-methylquinolin-4-ylmethoxy)phenyl]-2-oxopyrrolidin-1-yl}-N-hydroxy-4-methylpentanamide], a human tumor necrosis factor α-converting enzyme inhibitor, on the disposition of methotrexate: a transporter-based drug-drug interaction case study
AUTHOR(S): Luo, Gang; Garner, C. Edwin; Xiong, Hao; Hu, Hanbo; Richards, Lauren E.; Brouwer, Kim L. R.; Duan, Jingwu; Decicco, Carl P.; Maduskuie, Thomas; Shen, Helen; Lee, Frank W.; Gan, Liang-Shang
CORPORATE SOURCE: Preclinical Candidate Optimization-Metabolism and Pharmacokinetics, Bristol-Myers Squibb Company, Pennington, NJ, USA
SOURCE: Drug Metabolism and Disposition (2007), 35(6), 835-840 CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB DPC 333 [(2R)-2-{(3R)-3-amino-3-[4-(2-methylquinolin-4-ylmethoxy)phenyl]-2-oxopyrrolidin-1-yl}-N-hydroxy-4-methylpentanamide] is a potent human tumor necrosis factor α-converting enzyme inhibitor with potential therapeutic implications for rheumatoid arthritis. Methotrexate (MTX), a drug for the treatment of rheumatoid arthritis, is eliminated primarily unchanged via renal and biliary excretion in humans as well as in rats and dogs. The objective of the present study was to investigate the potential effect of DPC 333 on the disposition of MTX. In dogs, DPC 333 administered orally at 1.7 mg/kg 15 min before the i.v. administration of [14C]MTX (0.5 mg/kg) did not alter the plasma concentration-time profile of MTX; however, the total amount of radioactivity excreted in urine increased from 58.7% to 92.2% of the dose, and the renal clearance increased from 1.8 mL/min/kg to 2.9 mL/min/kg, suggesting a decrease in MTX disposition via biliary excretion. The biliary excretion of MTX was investigated in isolated perfused livers prepared from wild-type and TR- [multidrug resistance-associated protein 2 (Mrp2)-deficient] Wistar rats in the absence and presence of DPC 333. Mrp2-mediated biliary excretion of MTX was confirmed with 95.8% and 5.1% of MTX recovered in the bile of wild-type and TR- Wistar rats, resp. DPC 333 at an initial perfusate concentration of 50 μM completely blocked the biliary excretion of MTX, but not the clearance from perfusate, in both wild-type and TR- rats. These results suggest that the enhanced renal elimination of MTX may be due to the potent inhibition of biliary excretion and active renal resorption by DPC 333 and/or its metabolites.
IT 611227-74-8, DPC 333
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of DPC 333, a human tumor necrosis factor α-converting enzyme inhibitor, on disposition of methotrexate in a transporter-based drug-drug interaction case study)
RN 611227-74-8 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX

NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT:	2	THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT:	40	THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	146:528400 CA
TITLE:	Medical stent provided with inhibitors of tumor necrosis factor-alpha
INVENTOR(S):	Jukema, Johan Wouter; Quax, Paulus Hubertus Andreas; Horvers, Ronald Adrianus Maria
PATENT ASSIGNEE(S):	Picarus NV SA, Luxembourg
SOURCE:	PCT Int. Appl., 32pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007054108	A1	20070518	WO 2005-EP11943	20051108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2009514623	T	20090409	JP 2008-539253	20051108
WO 2007054281	A1	20070518	WO 2006-EP10695	20061108
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090222080	A1	20090903	US 2008-93095	20080814
PRIORITY APPLN. INFO.:			WO 2005-EP11943 W	20051108

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention relates to a stent provided with a composition comprising at least one inhibitor of TNF-alpha for use in treating smooth muscle cell proliferation, such as stenosis and preventing restenosis in vascular ducts.

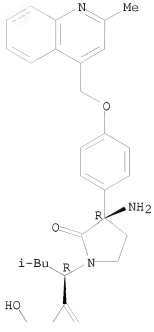
IT 611227-74-8, DPC333
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medical stent provided with inhibitors of tumor necrosis factor-alpha)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:374743 CA
TITLE: A molecular modeling analysis of novel non-hydroxamate inhibitors of TACE
AUTHOR(S): Sheppeck, James E.; Tebben, Andrew; Gilmore, John L.; Yang, Anle; Wasserman, Zelda R.; Decicco, Carl P.; Duan, James J.-W.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(5), 1408-1412
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Recently, an X-ray co-crystal structure of our hydroxamate inhibitor IK682 and TACE was published that explicitly shows the orientation of the hydroxamate and the TACE-selective

4-[(2-methyl-4-quinolinyl)methoxy]phenyl P1' group in the S1' and S3' sites. The preceding paper described a novel series of potent and TACE-selective hydantoins and we previously described pyrimidinetrione (barbiturate) inhibitors of TACE, both of which contain the same P1' group as IK682. Using this TACE-selective P1' group as an anchor, stereochem. and conformational constraints in the inhibitors, and restrictions to the active site Zn coordination geometry, we developed a highly plausible and predictive pharmacophore model that rationalizes the observed TACE activity of all three inhibitors.

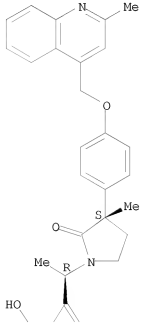
IT 478911-60-3, IK682
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(mol. modeling anal. of novel non-hydroxamate inhibitors of TACE)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:229498 CA
TITLE: Targeting TNF-α converting enzyme (TACE)-dependent growth factor shedding in cancer therapy
INVENTOR(S): Kenny, Paraic A.; Bissell, Mina J.
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007016597	A2	20070208	WO 2006-US30008	20060731
WO 2007016597	A3	20071108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090274626	A1	20091105	US 2008-22049	20080129
PRIORITY APPLN. INFO.:			US 2005-703654P	P 20050729
			WO 2006-US30008	A1 20060731

AB The invention provides methods for modulating tumor cell proliferation by contacting cells (e.g. tumor cells) with a TACE inhibitor and a compound that inhibits EGFR tyrosine kinase, whereby the TACE inhibitor enhances the sensitivity of the cell to the EGFR tyrosine kinase inhibitor. Addnl., methods for treating cancer and methods for identifying TACE inhibitors is also provided.

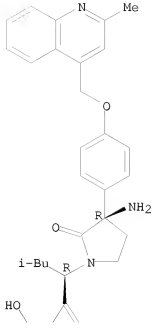
IT 611227-74-8, BMS 561392
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(targeting TNF-α converting enzyme (TACE)-dependent growth factor shedding in cancer therapy)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L6 ANSWER 23 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:176192 CA
TITLE: Identification of potent and selective TACE inhibitors via the S1 pocket
AUTHOR(S): Condon, Jeffrey S.; Joseph-McCarthy, Diane; Levin, Jeremy I.; Lombart, Henry-Georges; Lovering, Frank E.; Sun, Linhong; Wang, Weiheng; Xu, Weixin; Zhang, Yuhua
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Cambridge, MA, 02140, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(1), 34-39
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:176192

AB By focusing on the P1 portion of the piperidine β-sulfone ligands we identified a motif that induces selectivity and resulted in a series of TACE inhibitors that demonstrated excellent in vitro potency against isolated TACE enzyme and excellent selectivity over MMPs 1, 2, 9, 13, and

14.

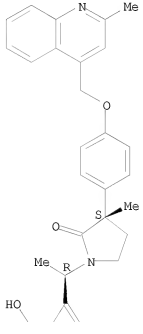
IT 478911-60-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(piperidine sulfones as TACE inhibitors)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 146:163389 CA

TITLE: Preparation of amino acids phthalamide and succinimide derivatives as inhibitors of DNA methyl transferases

INVENTOR(S): Hamlyn, Richard John; Rigoreau, Laurent Jean Martin; Raynham, Tony Michael; Priestley, Rachael Elizabeth;

Soudy, Christelle Nicole Marguerite; Lyko, Frank; Bruckner, Bodo; Kern, Oliver Thomas

PATENT ASSIGNEE(S): Cancer Research Technology Limited, UK
SOURCE: PCT Int. Appl., 71pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007007054	A1	20070118	WO 2006-GB2517	20060707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: GB 2005-14041 A 20050708
US 2005-698176P P 20050711

OTHER SOURCE(S): CASREACT 146:163389; MARPAT 146:163389

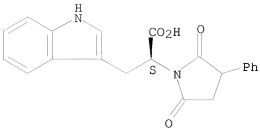
AB The title phthalamide and succinimide derivs. of amino acids, particularly of tryptophan, or isomers, salts, solvates, or prodrugs thereof were prepared as inhibitors of DNA Me transferases (DNMTs). For example, L-tryptophan was reacted with Me 2-formylbenzoate, followed by treating with sodium cyanoborohydride to give (2S)-2-(1-oxo-1,3-dihydroisoindol-2-yl)-3-(1H-indol-3-yl)propionic acid with 94% purity. (2S)-2-(1-Oxo-1,3-dihydroisoindol-2-yl)-3-(1H-indol-3-yl)propionic acid showed inhibitory activity with IC50 < 50 μM against DNA methylation.

IT 919767-43-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amino acids phthalamide and succinimide derivs. as inhibitors of DNMTs)

RN 919767-43-4 CA

CN 1H-Indole-3-propanoic acid, α-(2,5-dioxo-3-phenyl-1-pyrrolidinyl)-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:93540 CA
TITLE: Combination therapy for the treatment of immunoinflammatory disorders
INVENTOR(S): Ausspitz, Benjamin A.; Brasher, Bradley B.; Chappell, Todd W.; Frank, Michael G.; Grau, Daniel; Jost-Price, Edward R.; Lederman, Seth; Manivfasakam, Palaniyandi; Sachs, Noah; Smith, Brendan
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 94pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006138518	A1	20061228	WO 2006-US23414	20060615
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006259359	A1	20061228	AU 2006-259359	20060615
CA 2612353	A1	20061228	CA 2006-2612353	20060615
EP 1895959	A1	20080312	EP 2006-773303	20060615
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008543865	T	20081204	JP 2008-517122	20060615
US 20070110685	A1	20070517	US 2006-454202	20060616
MX 2007016114	A	20080605	MX 2007-16114	20071214
NO 2008000113	A	20080227	NO 2008-113	20080108
KR 2008017487	A	20080226	KR 2008-701409	20080117
IN 2008CN00275	A	20080919	IN 2008-CN275	20080117

CN 101237838 A 20080806 CN 2006-80029080 20080204
PRIORITY APPLN. INFO.: US 2005-691766P P 20050617
WO 2006-US23414 W 20060615

AB The invention features a method for treating a patient diagnosed with or at risk of developing an immunoinflammatory disorder by administration of a nonsteroidal immunophilin-dependent immunosuppressant (NsIDI) and a Group A enhancer (e.g., antifungal agent, antigout agent, anti-infective agent, antiprotozoal agent, antiviral agent, humectant, sunscreen, vitamin D compound, microtubulin inhibitor, or zinc salt) or analog or metabolite thereof. The invention also features a pharmaceutical composition containing an NsIDI and Group A enhancer or analog or metabolite thereof for the treatment or prevention of an immunoinflammatory disorder.

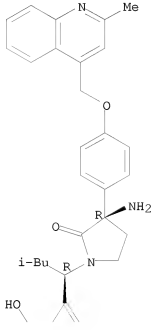
IT 611227-74-8, DPC 333
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for treatment of immunoinflammatory disorders)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 26 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:87584 CA
TITLE: Composition comprising bufexamac and corticosteroid for the treatment of inflammatory disorders
INVENTOR(S): Jost-Price, Edward Roydon; Nolan, Garry; Zimmermann, Grant R.
PATENT ASSIGNEE(S): Combinatorx, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 40pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060286177	A1	20061221	US 2006-454559	20060616
AU 2006259499	A1	20061228	AU 2006-259499	20060615
CA 2612244	A1	20061228	CA 2006-2612244	20060615
WO 2006138372	A2	20061228	WO 2006-US23162	20060615
WO 2006138372	A3	20070329		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1896042	A2	20080312	EP 2006-773158	20060615
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008543859	T	20081204	JP 2008-517064	20060615
PRIORITY APPLN. INFO.:			US 2005-691953P P	20050617
			WO 2006-US23162 W	20060615

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The invention features a method for treating a patient diagnosed with or at risk of developing an immunoinflammatory disorder by administering bufexamac and a corticosteroid or other compound to the patient. The invention also features a pharmaceutical composition containing bufexamac and a corticosteroid or other compound for the treatment or prevention of an

immunoinflammatory disorder. For example, combination of prednisolone and bufexamac reduced lipopolysaccharide-induced α-TNF secretion in vitro.

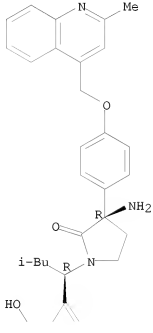
IT 611227-74-8, DPC 333
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising bufexamac and corticosteroid for treatment of immunoinflammatory disorders)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L6 ANSWER 27 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:3112 CA
TITLE: Crystal structure of human TNF-α convertase mutant complexed with inhibitor for use in structure-based rational drug design
INVENTOR(S): Beyer, Brian M.; Ingram, Richard N.; Orth, Peter; Strickland, Corey

PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 39pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 7138264	B1	20061121	US 2003-444257		20030521
US 20070148669	A1	20070628	US 2006-582710		20061018
US 7529628	B2	20090505			
US 20090221016	A1	20090903	US 2009-420323		20090408
PRIORITY APPLN. INFO.:			US 2002-383391P	P	20020524
			US 2003-444257	A3	20030521
			US 2006-582710	A3	20061018

AB The present invention discloses a modified human tumor necrosis factor-α converting enzyme (TACE) catalytic domain, that unlike the native TACE catalytic domain, is stable at high protein concns. The present invention further discloses methods for generating crystals of the modified TACE protein in protein-ligand complexes with a number of inhibitors. In particular, the human TACE mutant V353G (vgTACE) was cocrystd. with an inhibitor, N-{3-(hydroxyaminocarbonyl)-1-oxo-(2R)-benzylprolyl}-Ile-Leu-OH. The crystal structure and atomic structural coordinates of vgTACE complexed with N-{3-(hydroxyaminocarbonyl)-1-oxo-(2R)-benzylprolyl}-Ile-Leu-OH are provided. In addition, the present invention discloses methods of using the proteins, crystals and/or three-dimensional structures obtained to identify compds. that can modulate the enzymic activity of TACE.

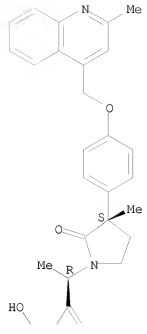
IT 478911-60-3DP, complexes with TACE catalytic domain
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal structure of human TNF-α convertase mutant complexed with inhibitor for use in structure-based rational drug design)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:226498 CA
TITLE: IK682, a tight binding inhibitor of TACE
AUTHOR(S): Niu, Xiaoda; Umland, Shelby; Ingram, Richard; Beyer, Brian M.; Liu, Yan-Hui; Sun, Jing; Lundell, Daniel; Orth, Peter
CORPORATE SOURCE: Department of Inflammation and Infection, Schering Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Archives of Biochemistry and Biophysics (2006), 451(1), 43-50
CODEN: ABBIA4; ISSN: 0003-9861
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB TNFα converting enzyme (TACE) is the major metalloproteinase for the processing of TNFα, a key inflammatory cytokine. IK682, a

hydroxamate compound, was reported to be a potent and specific TACE inhibitor. The binding kinetics of IK682 and the ectodomain of human TACE was examined The kon of IK682 was determined as 1.1±0.3 + 108 M-1 min-1. No detectable dissociation of IK682 from TACE was observed following dialysis, dilution, and extensive washing over a maximum of 72 h. This was in contrast to the rapid dissociation of IK682 from ADAM10. LC/MS anal. of the TACE-IK682 complex after dissociation under denaturing conditions indicated that the tight binding is not due to covalent interaction. The x-ray crystal structure of TACE-IK682 complex revealed multiple binding points at the S1' and S3' sites and the movement of a loop (from Ala349 to Gly442) to accommodate the binding of the quinolinyl group of IK682 at the S3' pocket. The conformational changes of TACE may contribute significantly to the high affinity binding as a result of a more stable TACE-inhibitor complex.

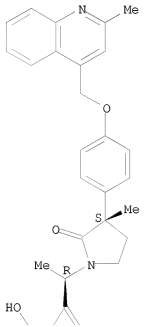
IT 478911-60-3, IK682
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(IK682, a tight binding inhibitor of TNFα converting enzyme)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:412505 CA
TITLE: Benzimidazole or indole amides as inhibitors of pin1 and their preparation, pharmaceutical compositions, and use for treatment of diseases associated with abnormal cell growth
INVENTOR(S): Do, Quyen-Quyen Thuy; Guo, Chuangxing; Humphries, Paul Stuart; Marakovits, Joseph Timothy; Dong, Liming; Hou, Xinjun; Johnson, Mary Catherine
PATENT ASSIGNEE(S): Pfizer, Inc., USA
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040646	A1	20060420	WO 2005-IB3019	20051003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2004-619211P	P 20041014

OTHER SOURCE(S): CASREACT 144:412505; MARPAT 144:412505
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

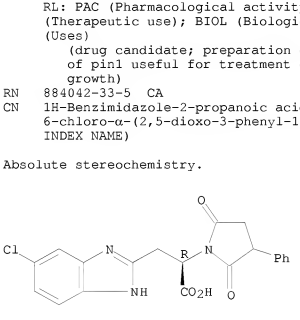
AB The invention relates to compds. of the formula I and to pharmaceutically acceptable salts and solvates thereof, wherein the variables are defined herein. The invention also relates to methods of treating abnormal cell growth in mammals by administering the compds. of formula I and to

pharmaceutical compns. for treating such disorders that contain the compds. of formula I. The invention also relates to methods of preparing the compds. of formula I. Compds. of formula I wherein Q, Q1, Q2, and Q3 are independently N, CH2 or CH, where not more than two of the Qs are N; T is CH or N; T1 is O, NH or NMe; X is NH, O, CH=, or NR'; R' is (un)substiuted alkyl; Y is CO, CH2, or CONH and derivs.; Z is H or (un)substiuted alkyl; XY and X can form a heterocyclic ring or X and Y can form a heterocyclic ring; R and V are independently H, halo, alkyl, halogenated alkyl, alkoxy, OH, NH2, CN; R1 is (un)substituted (hetero)aryl, (un)substituted aryloxy, (un)substituted arylsulfanyl, (un)substituted arylvinyl or (un)substituted arylalkyl(amino), etc.; R3 is CO2H, tetrazole, CO2CHR4OCOR4 or CONH2 and derivs.; R4 is H or alkyl; and their pharmaceutically acceptable salts and solvates are claimed in this invention. Example compound II was prepared by substitution of compound II with benzoxazole-2-thiol followed by hydrolysis at the ester. Addnl. 1400 example compds. were prepared in this invention. All invention compds. were evaluated for their pin1 inhibitory activity. Example compound II showed 10% inhibition at 1 μM and 73% inhibition at 10 μM concentration Most of the invention compds. showed good inhibitory activity at 10 μM concentration

IT 884042-33-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazole or indole amides as inhibitors of pin1 useful for treatment of diseases associated with abnormal cell growth)

RN 884042-33-5 CA
CN 1H-Benzimidazole-2-propanoic acid, 6-chloro-α-(2,5-dioxo-3-phenyl-1-pyrrolidinyl)-, (αR)- (CA INDEX NAME)

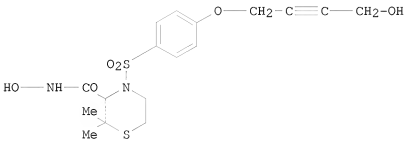
Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:285643 CA
TITLE: Acetylenic TACE inhibitors. Part 3: Thiomorpholine sulfonamide hydroxamates
AUTHOR(S): Levin, J. I.; Chen, J. M.; Laakso, L. M.; Du, M.; Schmid, J.; Xu, W.; Cummons, T.; Xu, J.; Jin, G.; Barone, D.; Skotnicki, J. S.
CORPORATE SOURCE: Wyeth Research, Pearl River, NY, 10965, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(6), 1605-1609
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:285643
GI



I

AB A series of thiomorpholine sulfonamide hydroxamate TACE inhibitors, all bearing propargylic ether P1' groups, was explored. In particular, compound I has excellent in vitro potency against isolated TACE enzyme and in cells, oral activity in a model of TNF-α production and a collagen-induced arthritis model, was selected as a clin. candidate for the treatment of rheumatoid arthritis.

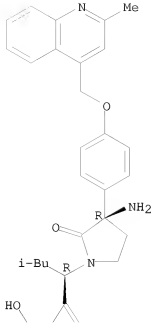
IT 611227-74-8, Bms 561392
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thiomorpholine sulfonamide hydroxamate TACE inhibitors)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:248298 CA
TITLE: Substituted hydroxamic acid derivatives as TNF inhibitors, their preparation and pharmaceutical compositions
INVENTOR(S): Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Jain, Mukul R.; Thombare, Pravin S.
PATENT ASSIGNEE(S): Cadila Healthcare Limited, India
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005077937		A1	20050825	WO 2005-IN10	20050107
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2004MU00022		A	20060915	IN 2004-MU22	20040109
US 20090192191		A1	20090730	US 2006-585420	20060828
PRIORITY APPLN. INFO.:				IN 2004-MU22 A	20040109
				WO 2005-IN10 W	20050107

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:248298; MARPAT 143:248298
GI

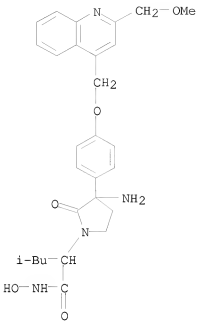
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 2-oxopyrrolidin-1-ylalkanoic acid derivs. I, which are inhibitors of matrix metalloproteinase, aggrecanase and tumor necrosis factor α secretion. In compds. I, A is CONHOH, CO2H, CH2CO2H, etc.; R1 and R2 are independently selected from H, (un)substituted linear or branched C1-8 alkyl, (un)substituted C3-7 cycloalkyl, etc.; R3 is H, SH, halo, amino, OH, alkylthio, alkoxy, etc.; X and Z are independently selected from (un)substituted C3-13 carbocycles or 5- to 14-membered heterocycles containing 1-4 heteroatoms selected from N, O, and S; and Y is an (un)substituted linker containing 0-2 carbons, optionally including O, CO, N, etc. The invention also relates to the preparation of I, pharmaceutical compns. containing I, along with a pharmaceutically acceptable carrier, diluents, excipients, or solvate, as well as to the use of the compns. for the treatment of diseases associated with excess TNF-α production or secretion. II was coupled with 4-hydroxymethyl-2-(methoxymethyl)quinoline to give ether III. Deprotection of III with trifluoroacetic acid gave the free amine, which underwent amidation with hydroxylamine hydrochloride, giving hydroxamic acid IV. Compound IV exhibited 92% TNF-α inhibition at 10 μM dose in a rat whole blood assay.

IT 863116-56-7P, 2-[3-Amino-3-[4-(2-methoxymethylquinolin-4-ylmethoxy)phenyl]-2-oxopyrrolidin-1-yl]-4-methylpentanoic acid hydroxyamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of hydroxamic acid derivs. as TNF inhibitors)

RN 863116-56-7 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-3-[4-[[2-(methoxymethyl)-4-quinolinyl]methoxy]phenyl]-α-(2-methylpropyl)-2-oxo- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:19989 CA
TITLE: Methods and compositions for the treatment of immunoinflammatory disorders using pyrazolopyridine compounds in combination with corticosteroids or other agents
INVENTOR(S): Jost-Price, Edward Roydon; Manivasakam, Palaniyandi; Smith, Brendan; Slavonic, Michael S.; Auspitz, Benjamin A.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

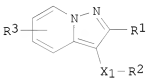
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051293	A2	20050609	WO 2004-US38512	20041117
WO 2005051293	A3	20060302		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

AU 2004292445	A1	20050609	AU 2004-292445		20041117
CA 2546347	A1	20050609	CA 2004-2546347		20041117
EP 1689390	A2	20060816	EP 2004-811275		20041117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU					
CN 1905870	A	20070131	CN 2004-80040808		20041117
BR 2004016812	A	20070306	BR 2004-16812		20041117
JP 2007512337	T	20070517	JP 2006-541339		20041117
ZA 2006004253	A	20071031	ZA 2006-4253		20041117
SG 148198	A1	20081231	SG 2008-8670		20041117
US 20050187203	A1	20050825	US 2004-992878		20041119
MX 2006005757	A	20060731	MX 2006-5757		20060519
NO 2006002300	A	20060821	NO 2006-2300		20060522
KR 2006120208	A	20061124	KR 2006-712128		20060619
IN 2006CN02232	A	20070608	IN 2006-CN2232		20060621
PRIORITY APPLN. INFO.:			US 2003-524117P	P	20031121
			WO 2004-US38512	W	20041117

OTHER SOURCE(S): MARPAT 143:19989
GI



I

AB The invention features a method for treating an immunoinflammatory disorder by administering I (R1, R2 = H, C1-7 alkyl, C2-7 alkenyl C2-7 alkynyl, C2-6 heterocyclyl, etc.; R3 = H, halo, alkoxy, C1-4 alkyl; X1 = C=O, C=N-NH-R4, etc.; R4 = H, acyl), e.g., ibudilast or KC-764, alone or in combination with a corticosteroid, tetra-substituted pyrimidopyrimidine, or other compound The invention also features pharmaceutical compns. including the combination above for the treatment or prevention of an immunoinflammatory disorder. The combination of ibudilast and prednisolone reduced proinflammatory IL-1 and TNFα secretion by white blood cells stimulated by PMA-ionomycin in vitro.

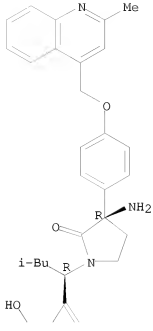
IT 611227-74-8, DPC 333
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition further comprising; treatment of immunoinflammatory disorders using pyrazolopyridine compds. in combination with corticosteroids or other agents)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:451800 CA
TITLE: Techniques to treat neurological disorders by attenuating the production of proinflammatory mediators
INVENTOR(S): Shafer, Lisa L.
PATENT ASSIGNEE(S): Medtronic, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050095246	A1	20050505	US 2004-972157	20041022

AU 2004283720 A1 20050506 AU 2004-283720 20041022
WO 2005039393 A2 20050506 WO 2004-US35194 20041022
WO 2005039393 A3 20070308
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1677667 A2 20060712 EP 2004-796228 20041022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
BR 2004015765 A 20061226 BR 2004-15765 20041022
CN 1997897 A 20070711 CN 2004-80038962 20041022
JP 2007526022 T 20070913 JP 2006-536859 20041022
US 20060013802 A1 20060119 US 2005-152944 20050615
US 20060189564 A1 20060824 US 2006-388891 20060324
WO 2006104913 A2 20061005 WO 2006-US10853 20060324
WO 2006104913 A3 20070628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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US 20060253100 A1 20061109 US 2006-460012 20060726
PRIORITY APPLN. INFO.: US 2003-514137P P 20031024
US 2004-972157 A2 20041022
US 2004-972177 A2 20041022
WO 2004-US35194 W 20041022
US 2004-638633P P 20041222
US 2005-665481P P 20050325

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

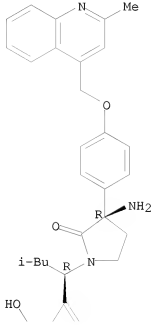
AB Methods and devices to attenuate tumor necrosis factor (TNF) and other pro-inflammatory mediators in the CNS to treat neurol., neurodegenerative, neuropsychiatric disorders, pain and brain injury are described. More particularly, TNF-blocking agents that target intracellular signals and downstream effects associated with the production and secretion of TNF are described. Devices described include therapy delivery devices comprising a reservoir capable of housing a TNF-blocking agent and a catheter operably coupled to the device and adapted to deliver the TNF-blocking agent to a target site within a subject.

IT 611227-74-8, BMS561392
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(delivery systems for blockers of proinflammatory mediators for treatment of neurol. disorders)

RN 611227-74-8 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L6 ANSWER 34 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:404248 CA
TITLE: Tetrasubstituted pyrimidopyrimidines, alone or in combination with other agents, for the treatment of immunoinflammatory disorders
INVENTOR(S): Keith, Curtis; Borisy, Alexis; Zimmermann, Grant R.; Jost-Price, Edward Roydon; Manivasakam, Palaniyandi; Hurst, Nicole; Foley, Michael A.; Slavonic, Michael S.; Smith, Brendan; Auspitz, Benjamin A.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037203	A2	20050428	WO 2004-US33656	20041013
WO 2005037203	A3	20060316		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004281729	A1	20050428	AU 2004-281729	20041013
CA 2542074	A1	20050428	CA 2004-2542074	20041013
EP 1680121	A2	20060719	EP 2004-809944	20041013
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BR 2004015397	A	20061219	BR 2004-15397	20041013
CN 1889956	A	20070103	CN 2004-80036606	20041013
JP 2007508391	T	20070405	JP 2006-535594	20041013
ZA 2006003116	A	20080625	ZA 2006-3116	20041013
SG 147442	A1	20081128	SG 2008-7704	20041013
EP 2070550	A1	20090617	EP 2009-2049	20041013
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK				
US 20050119160	A1	20050602	US 2004-966228	20041015
MX 2006004258	A	20060720	MX 2006-4258	20060412
NO 2006002003	A	20060707	NO 2006-2003	20060504
KR 2007001060	A	20070103	KR 2006-709276	20060512
IN 2006CN01687	A	20070629	IN 2006-CN1687	20060515
US 20070010502	A1	20070111	US 2006-517593	20060907

PRIORITY APPLN. INFO.:
US 2003-512415P P 20031015
EP 2004-809944 A3 20041013
WO 2004-US33656 W 20041013
US 2004-966228 A1 20041015

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses a method for treating a patient diagnosed with, or at risk of developing, an immunoinflammatory disorder by administering to the patient a tetrasubstituted pyrimidopyrimidine, either alone or in combination with one or more addnl. agents. The invention also features a composition containing a tetra-substituted pyrimidopyrimidine in combination with one or more addnl. agents.

IT 611227-74-8, DPC 333
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimidopyrimidine tetrasubstituted derivs., alone or in combination with other agents, for treatment of immunoinflammatory disorders)

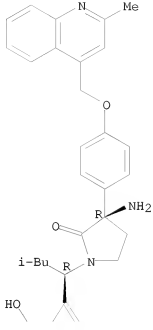
RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX

NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:388131 CA
TITLE: ADAM33 Enzyme Properties and Substrate Specificity
AUTHOR(S): Zou, Jun; Zhang, Rumin; Zhu, Feng; Liu, Jianjun; Madison, Vincent; Umland, Shelby P.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Biochemistry (2005), 44(11), 4247-4256
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB ADAM33 is an asthma susceptibility gene recently identified through a

genetic study of asthmatic families [van Eerdewegh, et al. (2002) Nature 418, 426-430]. To understand the function of the gene product, the recombinant metalloproteinase domain of human ADAM33 was purified and tested for its substrate cleavage specificity using peptides derived from β-amyloid precursor protein (APP). A single Ala substitution at the P2 position of a 10-residue APP peptide, YEVHH*QKLVF, yielded a 20-fold more efficient substrate. Terminal truncation studies identified a minimal nine-residue core (P5-P4') important for ADAM33 recognition and cleavage. Full positional scanning of the 10-mer peptide using the 19 naturally occurring L-amino acids (excluding Cys) revealed a substrate specificity profile. A strong preference for Val or Ile at P3, Ala at P2, and Gln at P1' was observed The substrate binding model based on the X-ray structure of the ADAM33-inhibitor complex supported the observed substrate specificity profile. On the basis of this, an improved substrate was designed and a fluorescence resonance energy transfer (FRET) assay was developed using a fluorogenic derivative of this substrate. Kinetic studies confirmed that the best substrate, FRET-P2 [K(Dabcyl)YRVAF*QKLAE(Edans)K], was .apprx.100-fold more efficient than the wild-type APP peptide substrate, with a kcat/Km value of (3.6 ± 0.1) + 104 s-1 M-1. Using this substrate and the FRET assay, ADAM33 enzyme activity and thermal stability were characterized. ADAM33 dependence on buffer conditions, detergents, and temperature was examined, and optimal conditions were

defined. Accurate Ki values for tissue inhibitors of metalloproteinase and small mol. compds. were obtained.

IT 478911-60-3, IK 682

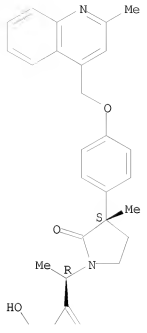
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibition of ADAM33; substrate specificity of human ADAM33 toward APP-derived peptides permits anal. of ADAM33 activity, stability, and inhibition)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 36 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:328858 CA
TITLE: The chimpanzee (Pan troglodytes) as a pharmacokinetic model for selection of drug candidates: Model characterization and application
AUTHOR(S): Wong, Harvey; Grossman, Scott J.; Bai, Stephen A.; Diamond, Sharon; Wright, Matthew R.; Grace, James E., Jr.; Qian, Mingxin; He, Kan; Yeleswaram, Krishnaswamy; Christ, David D.
CORPORATE SOURCE: Metabolism and Pharmacokinetics, Bristol-Myers Squibb Company, Wallingford, CT, USA
SOURCE: Drug Metabolism and Disposition (2004), 32(12), 1359-1369
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal
LANGUAGE: English

AB The chimpanzee (CHP) was evaluated as a pharmacokinetic model for humans (HUMs) using propranolol, verapamil, theophylline, and 12 proprietary compds. Species differences were observed in the systemic clearance of theophylline (.apprx.5-fold higher in CHPs), a low clearance compound, and the bioavailability of propranolol and verapamil (lower in CHPs), both high clearance compds. The systemic clearance of propranolol (.apprx.1.53 l/h/kg) suggested that the hepatic blood flow in CHPs is comparable to that in humans. No substantial differences were observed in the in vitro protein binding. A preliminary attempt was made to characterize cytochrome P 450 activities in CHP and HUM liver microsomes. Testosterone 6β-hydroxylation and tolbutamide methylhydroxylation activities were comparable in CHP and HUM liver microsomes. In contrast, dextromethorphan O-demethylation and phenacetin O-deethylation activities were .apprx.10-fold higher (per mg protein) in CHP liver microsomes. Intrinsic clearance ests. in CHP liver microsomes were higher for propranolol (.apprx.10-fold) and theophylline (.apprx.5-fold) and similar for verapamil. Of the 12 proprietary compds., 3 had oral clearances that differed in the two species by more than 3-fold, an acceptable range for biol. variability. Most of the observed differences are consistent with species differences in P 450 enzyme activity. Oral clearances of proprietary compds. in HUMs were significantly correlated to those from CHPs ($r = 0.68$; $p = 0.015$), but not to ests. from rat, dog, and monkey. In summary, the chimpanzee serves as a valuable surrogate model for human pharmacokinetics, especially when species differences in P 450 enzyme activity are considered.

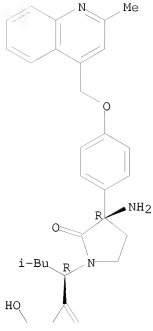
IT 611227-74-8, DPC 333
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(chimpanzee (Pan troglodytes) as a surrogate model for human pharmacokinetic studies in relation to species differences in P 450 enzyme activity)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:274008 CA
TITLE: Methods for treating rheumatoid arthritis by administration of humanized antibody to IP-10 alone or in combination with additional therapeutic agents
INVENTOR(S): Lane, Thomas E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

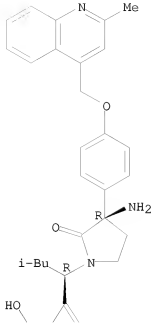
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 20050053600 A1 20050310 US 2004-938673 20040909
WO 2005023201 A2 20050317 WO 2004-US29373 20040909
WO 2005023201 A3 20050609
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-501312P P 20030909
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The invention discloses methods and compns. for treating rheumatoid arthritis through the administration of humanized anti-IP-10 antibody alone or in combination with an addnl. anti-rheumatic therapeutic compound Early treatment of type II collagen-induced mouse arthritis models with anti-IP-10 monoclonal antibody IP6C7 remarkably diminished paw swelling.
IT 611227-74-8, DPC-333
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as addnl. agent; humanized antibody to IP-10 alone or in combination with addnl. therapeutic agents for treating rheumatoid arthritis)
RN 611227-74-8 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 38 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:406057 CA
TITLE: Methods and reagents for the treatment of diseases and disorders associated with increased levels of proinflammatory cytokines
INVENTOR(S): Jost-Price, Edward Roydon; Manivasakam, Palaniyandi; Smith, Brendan; Fong, Jason; Auspitz, Benjamin A.; Nichols, M. James; Keith, Curtis; Zimmermann, Grant R.; Brasher, Bradley B.; Sachs, Noah; Chappell, Todd W.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 37 pp., Cont.-in-part of U.S. Ser. No. 670,488.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040229849	A1	20041118	US 2004-777517	20040212
US 20040220153	A1	20041104	US 2003-670488	20030924
ZA 2005002708	A	20080227	ZA 2005-2708	20030924
US 20050153947	A1	20050714	US 2004-947455	20040922
AU 2004275777	A1	20050407	AU 2004-275777	20040923
CA 2538023	A1	20050407	CA 2004-2538023	20040923
WO 2005030132	A2	20050407	WO 2004-US31195	20040923
WO 2005030132	A3	20061012		
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US 20050112199	A1	20050526	US 2004-947769	20040923
EP 1675550	A2	20060705	EP 2004-788933	20040923
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BR 2004014719	A	20061121	BR 2004-14719	20040923
ZA 2006002057	A	20070627	ZA 2006-2057	20040923
CN 1993051	A	20070704	CN 2004-80034731	20040923
JP 2007517766	T	20070705	JP 2006-528154	20040923
SG 146671	A1	20081030	SG 2008-7010	20040923
WO 2005079284	A2	20050901	WO 2005-US4297	20050211
WO 2005079284	A3	20060323		
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NO 2006001284	A	20060622	NO 2006-1284	20060321
MX 2006003320	A	20060608	MX 2006-3320	20060324
KR 2006076319	A	20060704	KR 2006-707818	20060421
PRIORITY APPLN. INFO.:			US 2002-413040P	P 20020924
			US 2002-417261P	P 20021009
			US 2002-427424P	P 20021119
			US 2002-427526P	P 20021119
			US 2003-464753P	P 20030423
			US 2003-670488	A2 20030924
			US 2003-512415P	P 20031015
			US 2003-520446P	P 20031113
			US 2004-777517	A1 20040212
			US 2004-777518	A 20040212

US 2004-557496P	P	20040330
US 2004-944574	A	20040917
US 2004-947455	A	20040922
WO 2004-US31195	W	20040923

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention features a method for treating a patient diagnosed with, or at risk of developing, an immunoinflammatory disorder by administering an SSRI or analog or metabolite thereof and, optionally, a corticosteroid or other compound to the patient. The invention also features a pharmaceutical composition containing an SSRI or analog or metabolite thereof and a corticosteroid
or other compound for the treatment or prevention of an immunoinflammatory disorder.

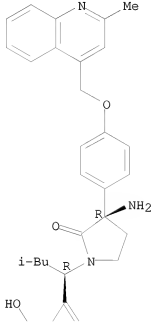
IT 611227-74-8, DPC 333
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selective serotonin reuptake inhibitors and corticosteroids for treatment of diseases associated with increased proinflammatory cytokines)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L6 ANSWER 39 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:236648 CA
TITLE: Combination therapy for the treatment of immunoinflammatory disorders
INVENTOR(S): Jost-Price, Edward Roydon; Brasher, Bradley B.; Chappel, Todd W.; Manivasakam, Palaniyandi; Sachs, Noah; Smith, Brendan; Auspitz, Benjamin A.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073614	A2	20040902	WO 2004-US4077	20040212
WO 2004073614	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
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AU 2004212919	A1	20040902	AU 2004-212919	20040212
CA 2514061	A1	20040902	CA 2004-2514061	20040212
EP 1599212	A2	20051130	EP 2004-710606	20040212
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BR 2004007421	A	20060124	BR 2004-7421	20040212
CN 1761478	A	20060419	CN 2004-80007370	20040212
JP 2006517969	T	20060803	JP 2006-503514	20040212
ZA 2005005996	A	20061227	ZA 2005-5996	20040212
RU 2329037	C2	20080720	RU 2005-128559	20040212
US 20050192261	A1	20050901	US 2004-940902	20040914
AU 2004273880	A1	20050331	AU 2004-273880	20040915
CA 2537989	A1	20050331	CA 2004-2537989	20040915
WO 2005027839	A2	20050331	WO 2004-US30210	20040915
WO 2005027839	A3	20070628		
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EP 1670427	A2	20060621	EP 2004-784162		20040915
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BR 2004014435	A	20061114	BR 2004-14435		20040915
JP 2007516217	T	20070621	JP 2006-526998		20040915
CN 101102760	A	20080109	CN 2004-80033648		20040915
ZA 2006001973	A	20080827	ZA 2006-1973		20040915
SG 146653	A1	20081030	SG 2008-6907		20040915
NO 2005003678	A	20050912	NO 2005-3678		20050729
IN 2005CN02258	A	20070406	IN 2005-CN2258		20050914
MX 2006002929	A	20060614	MX 2006-2929		20060315
NO 2006001239	A	20060608	NO 2006-1239		20060317
KR 2006089725	A	20060809	KR 2006-706026		20060328
IN 2006CN01270	A	20070629	IN 2006-CN1270		20060413
IN 2008CH02206	A	20090821	IN 2008-CH2206		20080911
PRIORITY APPLN. INFO.:			US 2003-447366P	P	20030214
			US 2003-447412P	P	20030214
			US 2003-447415P	P	20030214
			US 2003-447553P	P	20030214
			US 2003-447648P	P	20030214
			US 2003-464753P	P	20030423
			US 2003-503026P	P	20030915
			WO 2004-US4077	W	20040212
			WO 2004-US30210	W	20040915
			IN 2005-CN2258	A3	20050914

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention features a method for treating a patient diagnosed with, or at risk of developing, an immunoinflammatory disorder by administering a non-steroidal immunophilin-dependent immunosuppressant (NsIDI) and an NsIDI enhancer (NsIDIE) or analog or metabolite thereof to the patient. The invention also features a pharmaceutical composition containing an NsIDI and NsIDIE or analog or metabolite thereof for the treatment or prevention of an immunoinflammatory disorder.

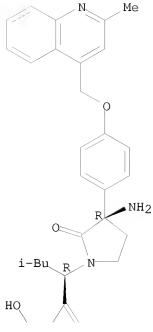
IT 611227-74-8, DPC 333
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for treatment of immunoinflammatory disorders)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 40 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:230686 CA
TITLE: Pharmaceutical compositions based on anticholinergics and TACE inhibitors
INVENTOR(S): Meade, Christopher John Montague; Pieper, Michael P.; Pairet, Michel
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. Kg
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071384	A2	20040826	WO 2004-EP1144	20040207

WO 2004071384 A3 20051201
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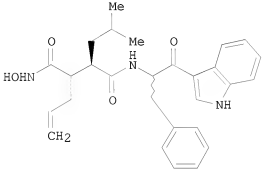
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
CA 2515534 A1 20040826 CA 2004-2515534 20040207
EP 1622617 A2 20060208 EP 2004-709142 20040207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006517216 T 20060720 JP 2006-501774 20040207
US 20060148839 A1 20060706 US 2005-544238 20050802
PRIORITY APPLN. INFO.: EP 2003-2986 A 20030211
WO 2004-EP1144 W 20040207
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:230686
GI



I

AB The present invention relates to novel pharmaceutical compns. based on anticholinergics and TACE (TNF alpha converting enzyme) inhibitors, processes for preparing them and their use in the treatment of respiratory diseases. An inhalable powder composition contained tiotropium bromide, a TACE inhibitor such as I, and lactose in capsules.

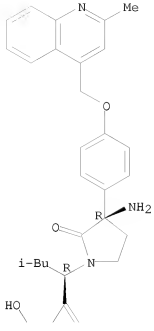
IT 611227-74-8, BMS-561392
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. based on anticholinergics and TACE inhibitors)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:218960 CA
TITLE: P2X7 receptor antagonist-TACE inhibitor combination for the treatment of inflammatory disorders
INVENTOR(S): Dixon, John
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073704	A1	20040902	WO 2004-SE196	20040216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

EP 1596847 A1 20051123 EP 2004-711525 20040216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 20060247257 A1 20061102 US 2005-545972 20050817
PRIORITY APPLN. INFO.: SE 2003-445 A 20030218
WO 2004-SE196 W 20040216

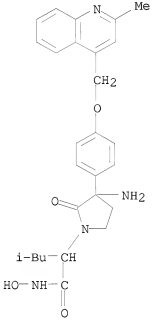
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:218960

AB The invention provides a pharmaceutical composition, pharmaceutical product, and kit comprising a first active ingredient which is a P2X7 receptor antagonist, and a second active ingredient which is an inhibitor of proTNFα convertase enzyme (TACE), for use in the treatment of inflammatory disorders.

IT 748133-00-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(P2X7 receptor antagonist-TACE inhibitor combination for treatment of inflammatory disorders)

RN 748133-00-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

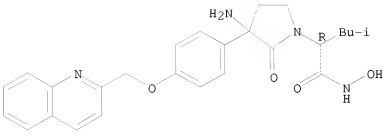
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:76809 CA
TITLE: Anti-inflammatory coatings for implantable medical devices containing a TACE inhibitor
INVENTOR(S): Dodd, John H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20040120977	A1	20040624	US 2003-732570		20031210
WO 2004060212	A1	20040722	WO 2003-US39312		20031210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003297849	A1	20040729	AU 2003-297849		20031210
PRIORITY APPLN. INFO.:			US 2002-434007P	P	20021217
			US 2003-482273P	P	20030625
			WO 2003-US39312	W	20031210

OTHER SOURCE(S): MARPAT 141:76809
AB The present invention relates to implantable surgical medical devices having coatings comprising one or more compds. that inhibit TNF-α converting enzyme (TACE), more particularly, stents having coatings comprising TACE inhibitors. A TACE inhibitor is effective in reducing restenosis.
IT 223402-98-0
RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-inflammatory coatings for implantable medical devices containing TACE inhibitor)
RN 223402-98-0 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-2-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 43 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:65136 CA
TITLE: Method of using a COX-2 inhibitor and a TACE inhibitor as a combination therapy for the treatment of neoplasia, pain, inflammation, and vaso-occlusive events
INVENTOR(S): Masferrer, Jaime L.; Stephenson, Diane T.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 143 pp., Cont.-in-part of U.S. Ser. No. 868,063.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 21
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040122011	A1	20040624	US 2003-423526	20030425
EP 1522313	A1	20050413	EP 2004-26577	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
AU 2004201161	A1	20040422	AU 2004-201161	20040319
AU 2004201161	B2	20060209		
WO 2004096206	A2	20041111	WO 2004-US12620	20040423
WO 2004096206	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004210578	A1	20041007	AU 2004-210578	20040910

PRIORITY APPLN. INFO.:		
US 1998-113786P	P	19981223
US 1999-470951	B2	19991222
US 2001-868063	A2	20011005
US 1999-385214	A	19990827
AU 2000-25936	A3	19991222
AU 2000-27134	A3	19991222

EP 1999-968939 A3 19991222
US 2003-423526 A 20030425

OTHER SOURCE(S): MARPAT 141:65136

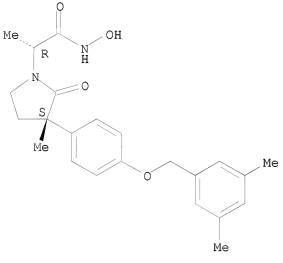
AB The present invention provides compns. and methods to treat, prevent, or inhibit a neoplasia, a neoplasia-related disorder, pain, inflammation, an inflammatory-related disorder, a vaso-occlusive event or a vaso-occlusive-related disorder in a mammal using a combination of a COX-2 inhibitor and a TACE inhibitor.

IT 223406-21-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(COX-2 inhibitor-TACE inhibitor combination for treatment of neoplasia, pain, inflammation, and vaso-occlusive events)

RN 223406-21-1 CA

CN 1-Pyrrolidineacetamide, 3-[4-[(3,5-dimethylphenyl)methoxy]phenyl]-N-hydroxy-α,3-dimethyl-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 44 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 140:402180 CA

TITLE: Catalytic Activity of Human ADAM33

AUTHOR(S): Zou, Jun; Zhu, Feng; Liu, Jianjun; Wang, Wenyan; Zhang, Rumin; Garlisi, Charles G.; Liu, Yan-Hui; Wang, Shihong; Shah, Himanshu; Wan, Yuntao; Umland, Shelby P.

CORPORATE SOURCE: Department of Allergy, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA

SOURCE: Journal of Biological Chemistry (2004), 279(11), 9818-9830
CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB ADAM33 (a disintegrin and metalloproteinase) is an asthma susceptibility

gene recently identified through a genetic study of asthmatic families. To characterize the catalytic properties of ADAM33, the metalloproteinase domain of human ADAM33 was expressed in Drosophila S2 cells and purified. The N-terminal sequence of the purified metalloproteinase was exclusively 204EARR, indicating utilization of one of three furin recognition sites. Of many synthetic peptides tested as potential substrates, four peptides derived from β-amyloid precursor protein (APP), Kit-ligand-1 (KL-1), tumor necrosis factor-related activation-induced cytokine, and insulin B chain were cleaved by ADAM33; mutation at the catalytic site, E346A, inactivated catalytic activity. Cleavage of APP occurred at His14 ↓ Gln15, not at the α-secretase site and was inefficient (kcat/Km 1.6 + 102 M-1 s-1). Cleavage of a juxtamembrane KL-1 peptide occurred at a site used physiol. with a similar efficiency. Mutagenesis of KL-1 peptide substrate indicated that the P3, P2, P1, and P3' residues were critical for activity. In a transfected cell-based sheddase assay, ADAM33 functioned as a neg. regulator of APP shedding and mediated some constitutive shedding of KL-1, which was not regulated by phorbol 12-myristate 13-acetate activation. ADAM33 activity was sensitive to several hydroxamate inhibitors (IK682, Ki = 23 nM) and to tissue inhibitors of metalloproteinase (TIMPs). Activity was inhibited moderately by TIMP-3 and TIMP-4 and weakly inhibited by TIMP-2 but not by TIMP-1, a profile distinct from other ADAMs. The identification of ADAM33 peptide substrates, cellular activity, and a distinct inhibitor profile provide the basis for further functional studies of ADAM33.

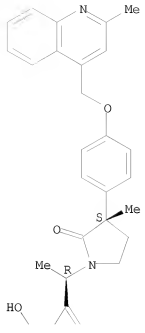
IT 478911-60-3, IK 682
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitor; peptide substrate models, cellular activity and inhibitor profile of metalloproteinase ADAM33 of humans)

RN 478911-60-3 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 54 THERE ARE 54 CAPLUS RECORDS THAT CITE THIS RECORD (54 CITINGS)
REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 45 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:287388 CA
TITLE: Preparation of oxopyrrolidinylmethylhydantoins as inhibitors of TNF-α converting enzyme (TACE).
INVENTOR(S): Burrows, Jeremy Nicholas; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024721	A1	20040325	WO 2003-GB3914	20030909

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2497571	A1	20040325	CA 2003-2497571		20030909
AU 2003263347	A1	20040430	AU 2003-263347		20030909
EP 1551826	A1	20050713	EP 2003-795075		20030909

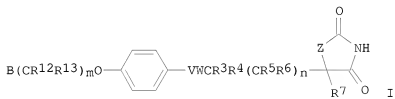
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003014275	A	20050809	BR 2003-14275		20030909
CN 1681804	A	20051012	CN 2003-821955		20030909
JP 2006507248	T	20060302	JP 2004-535653		20030909
ZA 2005001677	A	20050912	ZA 2005-1677		20050225
MX 2005002602	A	20050505	MX 2005-2602		20050308
US 20060063818	A1	20060323	US 2005-527215		20050310
NO 2005001788	A	20050613	NO 2005-1788		20050412
PRIORITY APPLN. INFO.:			GB 2002-21246	A	20020913
			WO 2003-GB3914	W	20030909

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:287388

GI



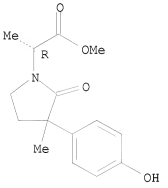
AB Title compds. [I; Z = NR8, O, S; m, n = 0, 1; W = CR1R2, bond; V = (substituted) oxopyrrolidinyl; B = (substituted) aryl, heteroaryl, heterocyclyl; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; R3-R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, heterocyclyl; R1R3, R3R4, R3R5, R3R7, R5R6 = atoms to form a 3-7 membered (heterocyclic) (substituted) ring; R7 = H, (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R8 = H, Me; R12, R13 = H, alkyl, cycloalkyl], were prepared for treatment of malignancy, reperfusion injury, cardiovascular disease, graft vs. host disease, etc. (no data). Thus, 2-[3-methyl-3-[4-(2-methylquinolin-4-ylmethoxy)phenyl]-2-oxopyrrolidin-1-yl]propionaldehyde (preparation given), (NH4)2CO3, and KCN were refluxed in EtOH to give 5-[1-[3-methyl-3-[4-(2-methylquinolin-4-ylmethoxy)phenyl]-2-oxopyrrolidin-1-yl]ethyl]imidazolidine-2,4-dione.

IT 223406-12-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of oxopyrrolidinylmethylhydantoins as inhibitors of TNF-α converting enzyme (TACE))
RN 223406-12-0 CA
CN 1-Pyrrolidineacetic acid, 3-(4-hydroxyphenyl)-α,3-dimethyl-2-oxo-, methyl ester, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

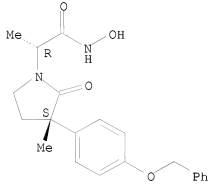


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:104428 CA
TITLE: Identification of a Selectivity Determinant for Inhibition of Tumor Necrosis Factor-α Converting Enzyme by Comparative Modeling
AUTHOR(S): Wasserman, Zelda R.; Duan, James J.-W.; Voss, Matthew E.; Xue, Chu-Biao; Cherney, Robert J.; Nelson, David J.; Hardman, Karl D.; Decicco, Carl P.
CORPORATE SOURCE: Structural Biology and Molecular Design Group, Bristol-Myers Squibb Company, Wilmington, DE, 19880, USA
SOURCE: Chemistry & Biology (2003), 10(3), 215-223
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Cell Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Inhibition of tumor necrosis factor-α converting enzyme (TACE) is a widespread objective in the search for disease modifying agents to combat rheumatoid arthritis and other autoimmune diseases. Until recently, most of the inhibitors in the literature have shown concomitant activity against the related matrix metalloproteinases (MMPs), producing undesired side effects. Here we describe the successful search for a TACE selectivity mechanism. We built a homol. model based on the crystal structure of the related snake venom protein atrolysin. Comparison of the model with crystal structures of MMPs suggested a uniquely shaped S1' pocket that might be exploited for selectivity. A novel γ-lactam scaffold was used to explore the activity profile of P1' sidechains, resulting in highly selective compds. consistent with this hypothesis. Transferability of the hypothesis was then demonstrated with five other

distinct scaffolds.
IT 223406-03-9
RL: PAC (Pharmacological activity); BIOL (Biological study)
(identification of a selectivity determinant for inhibition of tumor necrosis factor-α converting enzyme by comparative modeling)
RN 223406-03-9 CA
CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-2-oxo-3-[4-(phenylmethoxy)phenyl]-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:59937 CA
TITLE: Asymmetric synthesis of aminopyrrolidinones and a crystalline, free-base aminopyrrolidinone
INVENTOR(S): Campagna, Silvio; Savage, Scott A.; Bordawekar, Shaliendra; Maduskuie, Thomas P.; Waltermire, Robert E.; Desikan, Sridhar; Anderson, Stephen R.
PATENT ASSIGNEE(S): Bristol Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002956	A2	20040108	WO 2003-US7920	20030314
WO 2004002956	A3	20050324		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

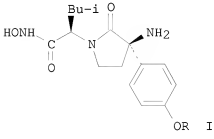
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003269801 A1 20040119 AU 2003-269801 20030314

PRIORITY APPLN. INFO.: US 2002-392440P P 20020628
US 2002-400411P P 20020801
WO 2003-US7920 W 20030314

OTHER SOURCE(S): MARPAT 140:59937

GI



I

AB A novel process for the asym. synthesis of aminopyrrolidinones, e.g., crystalline free-base I (Q = 2-methyl-4-quinolinylmethyl), is described. These compds. are useful as intermediates for MMP and TACE inhibitors. Thus, the pyrrolidine ring in I was formed by cyclocondensation of (R)-Me3CO2CNHC(CH2CH:CH2)(CO2Et)C6H4OCH2-Q-p with D-leucine Me ester hydrochloride.

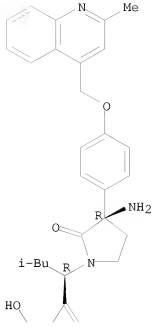
IT 611227-74-8P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(asym. synthesis of aminopyrrolidinones and a crystalline, free-base aminopyrrolidinone)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



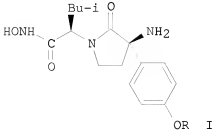
PAGE 2-A

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 48 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:59936 CA
TITLE: Asymmetric synthesis of aminopyrrolidinones and a crystalline, free-base aminopyrrolidinone
INVENTOR(S): Waltermire, Robert E.; Campagna, Silvio; Savage, Scott A.; Bordawekar, Shailendra; Maduskuie, Thomas P.; Desikan, Sridhar; Anderson, Stephen R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 29 pp., which
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040006137	A1	20040108	US 2003-389597	20030314

PRIORITY APPLN. INFO.: US 2002-392440P P 20020628
US 2002-400411P P 20020801
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:59936
GI



I

AB A novel process for the asym. synthesis of aminopyrrolidinones, e.g., crystalline free-base I (Q = 2-methyl-4-quinolinylmethyl), is described. These compds. are useful as intermediates for MMP and TACE inhibitors. Thus, the pyrrolidine ring in I was formed by cyclocondensation of (R)-Me3CO2CNHC(CH2CH:CH2)(CO2Et)C6H4OCH2-Q-p with D-leucine Me ester hydrochloride.

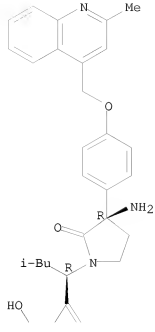
IT 611227-74-8P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(asym. synthesis of aminopyrrolidinones and crystalline, free-base aminopyrrolidinone)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L6 ANSWER 49 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:28052 CA
TITLE: Asymmetric synthesis of aminopyrrolidinones
INVENTOR(S): Waltermire, Robert E.; Savage, Scott A.; Campagna, Silvio; Magnus, Nicholas A.; Confalone, Pasquale N.; Yates, Matthew; Meloni, David J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

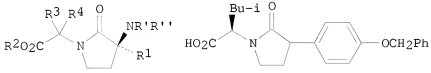
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104220	A1	20031218	WO 2003-US7969	20030314

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

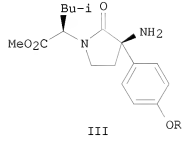
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003218176	A1	20031222	AU 2003-218176		20030314
US 20030236401	A1	20031225	US 2003-389528		20030314
US 6770763	B2	20040803			
PRIORITY APPLN. INFO.:			US 2002-387637P	P	20020611
			WO 2003-US7969	W	20030314

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 140:28052; MARPAT 140:28052
GI



I II



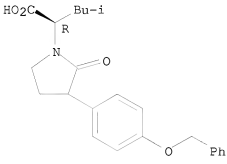
III

AB A novel process for the asym. synthesis of an aminopyrrolidinones I [R' is H, (cyclo)alkyl; R'' is a group R' or OH; R1 is substituted Ph or pyridyl; R2 is H, alkyl, Ph, benzyl; R3 is H, Q, (oxa)(aza)alk(en)(yn)ylene-Q, where Q is (un)substituted carbocyclyl; R4 is (oxa)(aza)alk(en)(yn)ylene-H] and corresponding aminoazetidinone, aminopiperidinone, and aminohexahydroazepinone analogs involves amination of corresponding pyrrolidinones or analogs. The products are useful as intermediates for MMP and TACE inhibitors. Thus, pyrrolidinone II was prepared by cyclocondensation of p-PhCH2OC6H4CH(CH2CHO)CO2Me with D-leucine Me ester hydrochloride. Amination of II with 1-chloro-1-nitrosocyclopentane, followed by catalytic hydrogenation in MeOH, mesylation, N-protection with p-tolualdehyde, and reaction with 4-(chlormethyl)-2-methylquinoline (R-Cl) afforded III (isolated as the HCl salt).

IT 634196-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of aminopyrrolidinones by amination of pyrrolidinones)

RN 634196-86-4 CA
CN 1-Pyrrolidineacetic acid, α-(2-methylpropyl)-2-oxo-3-[4-(phenylmethoxy)phenyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

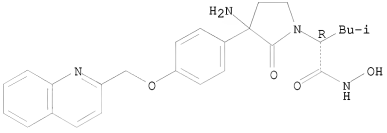
L6 ANSWER 50 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:13040 CA
TITLE: Combined use of TACE inhibitors and COX2 inhibitors as anti-inflammatory agents
INVENTOR(S): Duan, Jingwu
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030225054	A1	20031204	US 2003-453036	20030603
PRIORITY APPLN. INFO.:			US 2002-385656P P	20020603

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:13040
AB This invention relates to a method of treating inflammatory diseases in a mammal comprising administering to the mammal a therapeutically effective amount of a combination of: (i) at least one TACE inhibitor, (ii) one or more anti-inflammatory agents selected from the group consisting of: selective COX-2 inhibitors, interleukin-1 antagonists, dihydroorotate synthase inhibitors, p38 MAP kinase inhibitors, TNF-α inhibitors, TNF-α sequestration agents, and methotrexate. The invention also relates to compns. and kits containing the same.
IT 223402-98-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined use of TACE inhibitors and COX2 inhibitors as anti-inflammatory agents)
RN 223402-98-0 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-2-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

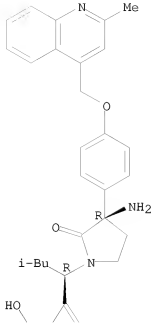


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 51 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:390498 CA
TITLE: BMS-561392 (Bristol-Myers Squibb)
AUTHOR(S): Grootveld, Martin; McDermott, Michael F.
CORPORATE SOURCE: Department of Diabetes and Metabolic Medicine, University of London, London, E1 1BB, UK
SOURCE: Current Opinion in Investigational Drugs (Thomson Current Drugs) (2003), 4(5), 598-602
CODEN: COIDAZ; ISSN: 1472-4472
PUBLISHER: Thomson Current Drugs
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Bristol-Myers Squibb Pharma Co is developing the tumor necrosis factor-α (TNFα) converting enzyme inhibitor BMS-561392 (DPC-333) for the potential treatment of diseases characterized by overprodn. of TNFα, such as rheumatoid arthritis (RA). A phase IIa trial in RA patients had commenced by Apr. 2001, and by Oct. 2002, BMS-561392 was also under investigation for the potential treatment of inflammatory bowel disease.
IT 611227-74-8, BMS 561392
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TNFα converting enzyme inhibitor BMS-561392 for potential treatment of rheumatoid arthritis and inflammatory bowel disease)
RN 611227-74-8 CA
CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 52 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:308009 CA
TITLE: Preparation of peptide derivative DPC 333 and its formulations having unique biopharmaceutical characteristics
INVENTOR(S): Benedek, Irma H.; Fossler, Michael J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003082287 A1 20031009 WO 2003-US8404 20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003214231 A1 20031013 AU 2003-214231 20030314
US 20030232079 A1 20031218 US 2003-389525 20030314
PRIORITY APPLN. INFO.: US 2002-366944P P 20020322
US 2002-400198P P 20020801
WO 2003-US8404 W 20030314

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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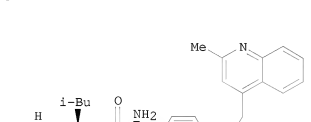


I

AB Peptide derivative I (DPC 333) was prepared by a multistep sequence, which included reactions of D-4-hydroxyphenylglycine and D-leucine Me ester hydrochloride. Oral dosage forms of crystalline DPC 333 are used to inhibit tumor necrosis factor-α convertase (TACE) and to treat inflammatory diseases characterized by TNFα overprodn. A figure shows the mean DPC 333 plasma concentration vs. time curves after administration to subjects in a single dose ranging from 15 to 530 mg.

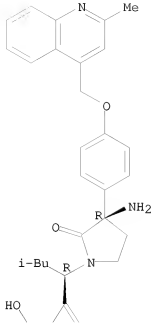
IT 611227-74-8P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide derivative DPC 333 and its formulations having unique biopharmaceutical characteristics)

RN 611227-74-8 CA

CN 1-Pyrrolidineacetamide, 3-amino-N-hydroxy-α-(2-methylpropyl)-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

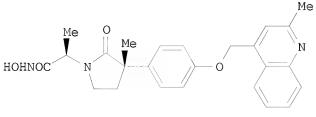


PAGE 2-A

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 53 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 138:55826 CA
TITLE: Discovery of γ-Lactam Hydroxamic Acids as Selective Inhibitors of Tumor Necrosis Factor α Converting Enzyme: Design, Synthesis, and Structure-Activity Relationships
AUTHOR(S): Duan, James J. W.; Chen, Lihua; Wasserman, Zelda R.; Lu, Zhonghui; Liu, Rui-Qin; Covington, Maryanne B.; Qian, Mingxin; Hardman, Karl D.; Magolda, Ronald L.; Newton, Robert C.; Christ, David D.; Wexler, Ruth R.; Decicco, Carl P.
CORPORATE SOURCE: Discovery Chemistry, Experimental Station, Bristol-Myers Squibb Company, Wilmington, DE, 19880-0500, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(23), 4954-4957

CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:55826
GI



I

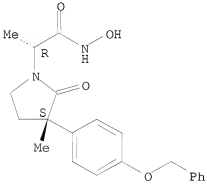
AB New γ-lactam TACE inhibitors were designed from known MMP inhibitors. A homol. model of TACE was built and examined to identify the S1' site as the key area for TACE selectivity over MMPs. Rational exploration of the P1'-S1' interactions resulted in the discovery of the 3,5-disubstituted benzyl ether as a TACE-selective P1' group. Further optimization led to the discovery of IK682 (I) as a selective and orally bioavailable TACE inhibitor.

IT 223406-03-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(γ-lactam hydroxamic acids as selective TACE inhibitors)

RN 223406-03-9 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-2-oxo-3-[4-(phenylmethoxy)phenyl]-, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 68 THERE ARE 68 CAPLUS RECORDS THAT CITE THIS RECORD (69 CITINGS)

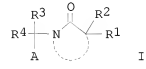
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 54 OF 83 CA COPYRIGHT 2009 ACS on STN

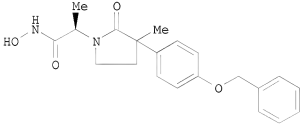
ACCESSION NUMBER: 137:392728 CA
TITLE: Preparation of novel N-substituted-γ,γ-trisubstituted lactam derivatives as matrix metalloproteinase inhibitors
INVENTOR(S): Duan, Jingwu; DeCicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 119 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301

PRIORITY APPLN. INFO.: US 2000-516709 20000301
GI



I



II

AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. For instance, 4-benzyloxyphenyl acetate was sequentially alkylated (THF, NaHMDS) with MeI and allyl bromide to afford

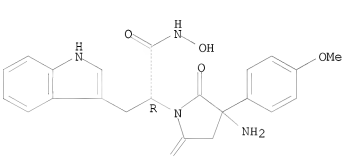
the α,α-bis(alkylated) derivative which was converted to the aldehyde (CH2Cl2, O3) and was subsequently reacted with D-alanine Me ester hydrochloride and Zn° in HOAc to yield the lactam ester. This intermediate was treated with hydroxylamine to give hydroxamic acid II. [This abstract record is one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 1121460-73-8
RL: PRPH (Prophetic)
(Preparation of novel N-substituted-γ,γ-trisubstituted lactam derivatives as matrix metalloproteinase inhibitors)

RN 1121460-73-8 CA

CN 1H-Indole-3-propanamide, α-[3-amino-3-(4-methoxyphenyl)-2,5-dioxo-1-pyrrolidinyl]-N-hydroxy-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

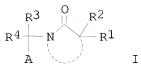


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 55 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 137:392727 CA
TITLE: Preparation of novel N-substituted-γ,γ-trisubstituted lactam derivatives as matrix metalloproteinase inhibitors
INVENTOR(S): Duan, Jingwu; DeCicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 119 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301
PRIORITY APPLN. INFO.:			US 2000-516709	20000301

GI



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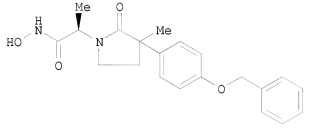


II

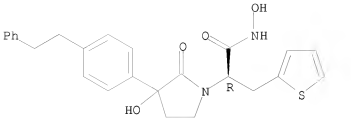
AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. For instance, 4-benzyloxyphenyl acetate was sequentially alkylated (THF, NaHMDS) with MeI and allyl bromide to afford the α,α-bis(alkylated) derivative which was converted to the aldehyde (CH2Cl2, O3) and was subsequently reacted with D-alanine Me ester hydrochloride and Zn° in HOAc to yield the lactam ester. This intermediate was treated with hydroxylamine to give hydroxamic acid II. [This abstract record is one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 1121391-83-0
RL: PRPH (Prophetic)
(Preparation of novel N-substituted-γ,γ-trisubstituted lactam derivatives as matrix metalloproteinase inhibitors)

RN 1121391-83-0 CA

CN 1-Pyrrolidineacetamide, N,3-dihydroxy-2-oxo-3-[4-(2-phenylethyl)phenyl]-α-(2-thienylmethyl)-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 56 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 137:392726 CA
TITLE: Preparation of novel N-substituted-γ,γ-trisubstituted lactam derivatives as matrix metalloproteinase inhibitors
INVENTOR(S): Duan, Jingwu; DeCicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 119 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301

PRIORITY APPLN. INFO.: US 2000-516709 20000301
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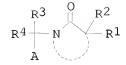

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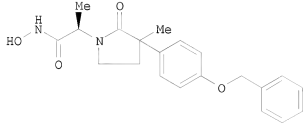


II

AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. For instance, 4-benzyloxyphenyl acetate was sequentially alkylated (THF, NaHMDS) with MeI and allyl bromide to afford the α,α-bis(alkylated) derivative which was converted to the aldehyde (CH2Cl2, O3) and was subsequently reacted with D-alanine Me ester hydrochloride and Zn° in HOAc to yield the lactam ester. This intermediate was treated with hydroxylamine to give hydroxamic acid II. [This abstract record is one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 57 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 137:33207 CA

TITLE: Preparation of novel N-substituted-γ,γ-trisubstituted lactam derivatives as matrix metalloproteinase inhibitors

INVENTOR(S): Duan, Jingwu; DeCicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 119 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

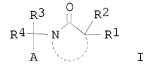
FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301
US 6403632	B1	20020611	US 2000-516709	20000301
US 2003013482 7	A1	20030717	US 2002-96619	20020312
US 6610731	B2	20030826		
PRIORITY APPLN. INFO.:			US 1997-62418P	P 19971003
			US 1998-165747	A3 19981002
			US 2000-516709	20000301

OTHER SOURCE(S): MARPAT 137:33207

GI



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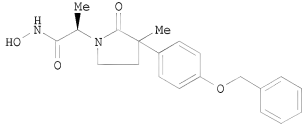


II

AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. For instance, 4-benzyloxyphenyl acetate was sequentially alkylated (THF, NaHMDS) with MeI and allyl bromide to afford the α,α-bis(alkylated) derivative which was converted to the aldehyde (CH2Cl2, O3) and was subsequently reacted with D-alanine Me ester hydrochloride and Zn° in HOAc to yield the lactam ester. This intermediate was treated with hydroxylamine to give hydroxamic acid II. [This abstract record is one of 6 records for this document necessitated by

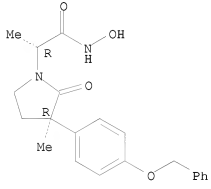
the large number of index entries required to fully index the document and publication system constraints.]

IT 223401-46-5P, 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-2-oxo-3-[4-(phenylmethoxy)phenyl]-, (αR,3R)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-γ,γ-trisubstituted lactam derivs. as MMP-3/aggrecanase inhibitors)

RN 223401-46-5 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-2-oxo-3-[4-(phenylmethoxy)phenyl]-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 58 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 135:180952 CA

TITLE: Preparation of matrix metalloproteinase inhibitors

INVENTOR(S): Decicco, Carl P.; Nelson, David J.; Barrett, John A.; Carpenter, Alan P., Jr.; Duran, James J.; Rajopadhye, Milind

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

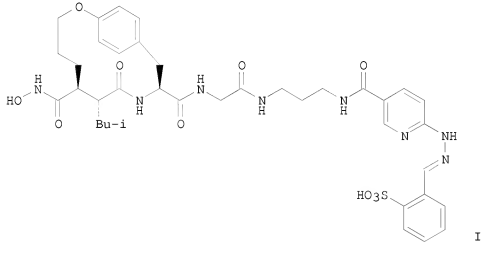
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060820	A2	20010823	WO 2001-US4848	20010215
WO 2001060820	A3	20020221		

W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
US 20050025702 A1 20050203 US 2001-783248 20010214
US 6989139 B2 20060124
CA 2395841 A1 20010823 CA 2001-2395841 20010215
AU 2001041498 A 20010827 AU 2001-41498 20010215
EP 1257549 A2 20021120 EP 2001-912751 20010215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR
EP 1772452 A2 20070411 EP 2006-76915 20010215
EP 1772452 A3 20070704
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR
PRIORITY APPLN. INFO.: US 2000-182627P P 20000215
EP 2001-912751 A3 20010215
WO 2001-US4848 W 20010215
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:180952
GI



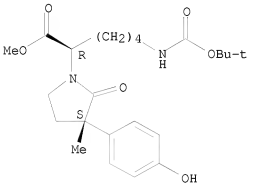
I

AB Compds. Qd-Ln-Ch (Qd is 1-10 targeting moieties; Ln is a linking group; Ch is a chelator) were prepared The chelator is able to conjugate a cytotoxic radioisotope. The targeting moiety, e.g., R1NHCOCR2R3NR4R5 [R1 = OH or Ph, which is optionally substituted with a bond to the linking group or to the chelator, provided when R1 = Ph, R3 = 2-[(1-carboxyethyl)amino]alkanoyl; R2, R3, R4, R5 = H, C1-6, which is alkyl optionally substituted with a bond to the linking group or to the chelator; R2R3C or R4R5N may form a ring], is a matrix metalloproteinase inhibitor. Thus, peptidomimetic I was prepared by coupling reactions of (3-aminopropyl)carbamic acid tert-Bu ester with oxaazabicyclo[10.2.2]hexadecatrienecarboxylic acid derivs. Compds. of the invention were found to be active in matrix metalloproteinase inhibitory assays.

IT 1098518-70-7
RL: PRPH (Prophetic)
(Preparation of matrix metalloproteinase inhibitors)

RN 1098518-70-7 CA
CN 1-Pyrrolidineacetic acid, α-[4-[[(1,1-dimethylethoxy)carbonyl]amino]butyl]-3-(4-hydroxyphenyl)-3-methyl-2-oxo-, methyl ester, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.



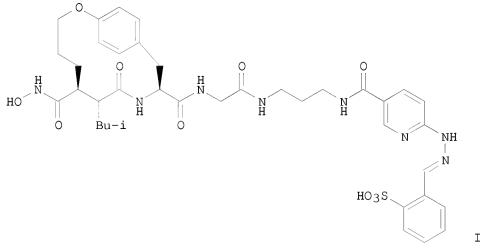
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 59 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 135:180950 CA
TITLE: Preparation of matrix metalloproteinase inhibitors as diagnostic agents
INVENTOR(S): Carpenter, Alan P., Jr.; Rajopadhye, Milind
PATENT ASSIGNEE(S): DuPont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060416	A2	20010823	WO 2001-US4870	20010215
WO 2001060416	A3	20020131		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 6656448	B1	20031202	US 2001-783249	20010214
CA 2395038	A1	20010823	CA 2001-2395038	20010215
AU 2001038319	A	20010827	AU 2001-38319	20010215
EP 1255570	A2	20021113	EP 2001-910745	20010215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR 2001008304	A	20030318	BR 2001-8304	20010215
JP 2003522807	T	20030729	JP 2001-559511	20010215
CN 1450915	A	20031022	CN 2001-805012	20010215

CN 1254276	C	20060503			
AU 2001238319	B2	20060406	AU 2001-238319		20010215
CN 1853732	A	20061101	CN 2006-10058825		20010215
NZ 521246	A	20061222	NZ 2001-521246		20010215
IN 2002MN00889	A	20050304	IN 2002-MN889		20020702
IN 2002MN00994	A	20050304	IN 2002-MN994		20020723
MX 2002007874	A	20021031	MX 2002-7874		20020814
US 20050047999	A1	20050303	US 2003-645272		20030821
US 7060248	B2	20060613			
HK 1060047	A1	20061222	HK 2004-102867		20040422
US 20050287074	A1	20051229	US 2005-194845		20050801
PRIORITY APPLN. INFO.:			US 2000-182712P	P	20000215
			US 2001-783249	A1	20010214
			CN 2001-805012	A3	20010215
			WO 2001-US4870	W	20010215
			US 2003-645272	A1	20030821

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:180950
GI

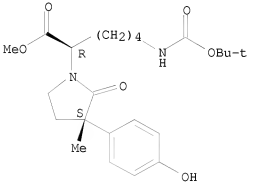


I

AB Diagnostic agents comprising a diagnostic metal or an echogenic gas and compds. Qd-Ln-R (Qd is 1-10 targeting moieties; Ln is a linking group; R is a chelator or a surfactant) were prepared The chelator is able to conjugate the diagnostic metal. The surfactant is capable of forming an echogenic gas filled lipid sphere or microbubble. The targeting moiety, e.g., R1NHCOCR2R3NR4R5 [R1 = OH or Ph, which is optionally substituted with a bond to the linking group or to the chelator, provided when R1 = Ph, R3 = 2-[(1-carboxyethyl)amino]alkanoyl; R2, R3, R4, R5 = H, C1-6, which is alkyl optionally substituted with a bond to the linking group or to the chelator; R2R3C or R4R5N may form a ring], is a matrix metalloproteinase inhibitor. Thus, peptidomimetic I was prepared by coupling reactions of (3-aminopropyl)carbamic acid tert-Bu ester with oxaazabicyclo[10.2.2]hexadecatrienecarboxylic acid derivs. Compds. of the invention were found to be active in matrix metalloproteinase inhibitory

assays.
IT 1098518-70-7
RL: PRPH (Prophetic)
(Preparation of matrix metalloproteinase inhibitors as diagnostic agents)
RN 1098518-70-7 CA
CN 1-Pyrrolidineacetic acid, α-[4-[[(1,1-dimethylethoxy)carbonyl]amino]butyl]-3-(4-hydroxyphenyl)-3-methyl-2-oxo-, methyl ester, (αR,3S)- (CA INDEX NAME)

Absolute stereochemistry.

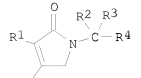


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 60 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 135:19548 CA
TITLE: Preparation of N-substituted 3-pyrrolin-2-ones, their use as herbicides, and control of paddy weeds
INVENTOR(S): Fusaka, Takafumi; Tanaka, Yasushi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 59 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001151751	A	20010605	JP 1999-333416	19991124
PRIORITY APPLN. INFO.:			JP 1999-333416	19991124

OTHER SOURCE(S): MARPAT 135:19548
GI



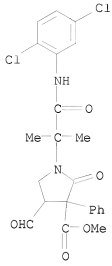
I

AB The compds. I [R1 = (un)substituted hydrocarbyl, (un)substituted heterocyclyl; R2, R3 = H, (un)substituted hydrocarbyl; R2 and R3 may be bonded together to form a 3-8-membered hydrocarbon ring; R4 = (un)substituted aryl, (un)substituted heterocyclyl, CONR5R6; R5, R6 = H, (un)substituted hydrocarbyl, (un)substituted heterocyclyl; Z = OH, W1R7, OCOR8; W1 = O, SO, SO2; R7, R8 = (un)substituted hydrocarbyl] and their salts are useful as herbicides especially for paddy. I are prepared by cyclizing R1CH2CON(CR3R4R5)CH2COCH2Z1 (Z1 = OR7, SR7) or their salts and optionally treating the product with oxidizing agents for oxidation of S. The other methods for the preparation of I are also claimed. PhCH2COCl was added dropwise to a mixture of 1-[1-(3,5-dichlorophenyl)-1-methylethylamino]-3-methoxy-2-propanone (preparation given), K2CO3, and acetone at 0° over 30 min and the reaction mixture was further stirred at room temperature overnight. The reaction mixture was further treated with K2CO3 and PhCH2COCl at room temperature for 3 h to give N-[1-(3,5-dichlorophenyl)-1-methylethyl]-N-(3-methoxy-2-oxopropyl)phenylacetamide. This was treated with an EtOH solution of KOH at room temperature for 30 min and at 60° for 30 min to give 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-4-methoxymethyl-3-phenyl-3-pyrrolin-2-one (II). Herbicidal effect of II against Echinochloa oryzicola, Cyperus difformis, etc. was shown. Agrochem. formulations containing I were also given.

IT 342792-64-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-substituted 3-pyrrolin-2-ones as herbicides for paddy)

RN 342792-64-7 CA

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(2,5-dichlorophenyl)amino]-1,1-dimethyl-2-oxoethyl]-4-formyl-2-oxo-3-phenyl-, methyl ester (CA INDEX NAME)

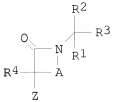


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 61 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 132:180476 CA
TITLE: Preparation of cyclic amide compounds as herbicides
INVENTOR(S): Fusaka, Takafumi; Tanaka, Yasushi; Kadowaki, Atsushi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 370 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009481	A1	20000224	WO 1999-JP4327	19990810
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951959	A	20000306	AU 1999-51959	19990810
JP 2000119250	A	20000425	JP 1999-226535	19990810
PRIORITY APPLN. INFO.:			JP 1998-227431	A 19980811
			WO 1999-JP4327	W 19990810

OTHER SOURCE(S): MARPAT 132:180476
GI



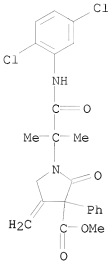
I

AB Cyclic amide compds. having two substituents at the α-position of the carbonyl group [I; R1 = (un)substituted hydrocarbyl, heterocyclyl, or CONH2; R2, R3 = (un)substituted hydrocarbyl or CR2R3 = 3- or 8-membered cyclic hydrocarbon ring; R4 = W1-R7; W1 = O optionally oxidized S; R7 = (un)substituted hydrocarbyl or heterocyclyl; A = (un)substituted CH:CH, CH:CHCH2, C(:CH2)CH2, or C(:CH2)CH2CH2; Z = halo, cyano, (un)substituted hydrocarbyl, acyl, or CONH2] or salts thereof are prepared These compds. exert an excellent herbicidal effect on weeds over a broad range (for example, lowland weeds and upland weeds) at a low dosage and yet cause little chemical injury on cultivated plants such as rice, wheat, barley, soybean, corn and cotton, thereby achieving an excellent selective herbicidal effect. This selective herbicidal effect is sustained over a long time. Moreover, these compds. are little toxic to mammals, fish and shellfish and induce no environmental pollution. Thus, they can be highly safely used as herbicides for lowlands, uplands, orchards or non-crop lands. Thus, a solution of Me 1-(1-(3,5-dichlorophenyl)-1-methylethyl)-4-hydroxy-4-methyl-2-oxo-3-phenylpyrrolidine-3-carboxylate (preparation given) and pyridine in ClCH2CH2Cl was stirred under ice-cooling, treated dropwise with SOCl2 at 3-4° over 6 min, and stirred at 2-4° under ice-cooling for 1.5 h and at room temperature for 0.5 h to give Me 1-(1-(3,5-dichlorophenyl)-1-methylethyl)-1,3-dihydro-4-methyl-2-oxo-3-phenyl-2H-pyrrole-3-carboxylate (II). II at 10 g/are post emergence controlled Echinochloa crus-galli, Cyperus difformis, and Rotala indica by 100%.

IT 259246-78-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amide compds. as herbicides)

RN 259246-78-1 CA

CN 3-Pyrrolidinecarboxylic acid, 1-[2-[(2,5-dichlorophenyl)amino]-1,1-dimethyl-2-oxoethyl]-4-methylene-2-oxo-3-phenyl-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 62 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:360818 CA
TITLE: Preparation of novel lactam as metalloprotease inhibitors
INVENTOR(S): Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918074	A1	19990415	WO 1998-XC21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 9918074	A1	19990415	WO 1998-US21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1997-62418P P 19971003
WO 1998-US21037 19981002

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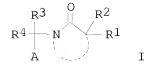

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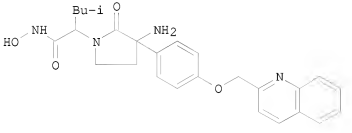


II

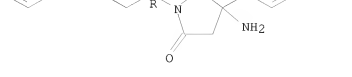
AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. Thus, compound II was prepared via alkylation, oxidation, amination, and cyclization. [This abstract record is one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 1121460-73-8
RL: PRPH (Prophetic)
(Preparation of novel lactam as metalloprotease inhibitors)

RN 1121460-73-8 CA

CN 1H-Indole-3-propanamide, α-[3-amino-3-(4-methoxyphenyl)-2,5-dioxo-1-pyrrolidinyl]-N-hydroxy-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 63 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:360817 CA
TITLE: Preparation of novel lactam as metalloprotease inhibitors
INVENTOR(S): Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918074	A1	19990415	WO 1998-XB21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 9918074	A1	19990415	WO 1998-US21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1997-62418P P 19971003
WO 1998-US21037 19981002

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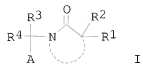

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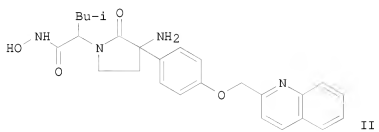


II

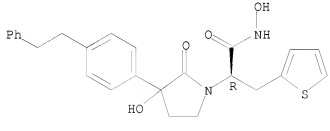
AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. Thus, compound II was prepared via alkylation, oxidation, amination, and cyclization. [This abstract record is one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 1121391-83-0
RL: PRPH (Prophetic)
(Preparation of novel lactam as metalloprotease inhibitors)

RN 1121391-83-0 CA

CN 1-Pyrrolidineacetamide, N,3-dihydroxy-2-oxo-3-[4-(2-phenylethyl)phenyl]-α-(2-thienylmethyl)-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 64 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:360816 CA
TITLE: Preparation of novel lactam as metalloprotease inhibitors
INVENTOR(S): Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918074	A1	19990415	WO 1998-XA21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 9918074	A1	19990415	WO 1998-US21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1997-62418P	P 19971003
			WO 1998-US21037	19981002

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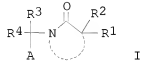

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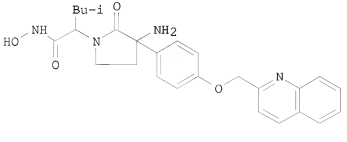

II

AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. Thus, compound II was prepared via alkylation, oxidation, amination, and cyclization. [This abstract record is one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 65 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:296611 CA
TITLE: Preparation of novel lactam as metalloprotease inhibitors
INVENTOR(S): Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918074	A1	19990415	WO 1998-US21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9808967	A	20000403	ZA 1998-8967	19981001
CA 2305679	A1	19990415	CA 1998-2305679	19981002
WO 9918074	A1	19990415	WO 1998-XA21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 9918074	A1	19990415	WO 1998-XB21037	19981002
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 9918074	A1	19990415	WO 1998-XC21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 9918074	A1	19990415	WO 1998-XD21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,				

PT, SE				
WO 9918074	A1	19990415	WO 1998-XE21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9896866	A	19990427	AU 1998-96866	19981002
AU 747239	B2	20020509		
US 6057336	A	20000502	US 1998-165747	19981002
EP 1027332	A1	20000816	EP 1998-950954	19981002
EP 1027332	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9815398	A	20001031	BR 1998-15398	19981002
EE 200000199	A	20010416	EE 2000-199	19981002
HU 2001000186	A2	20010528	HU 2001-186	19981002
HU 2001000186	A3	20021228		
JP 2001519331	T	20011023	JP 2000-514886	19981002
AT 267805	T	20040615	AT 1998-950954	19981002
PT 1027332	E	20040831	PT 1998-950954	19981002
ES 2217592	T3	20041101	ES 1998-950954	19981002
TW 541304	B	20030711	TW 1998-87116499	19981021
NO 2000000783	A	20000529	NO 2000-783	20000217
NO 315648	B1	20031006		
MX 2000003237	A	20010131	MX 2000-3237	20000331
PRIORITY APPLN. INFO.:			US 1997-62418P P	19971003
			WO 1998-US21037 W	19981002

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 130:296611
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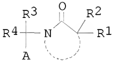

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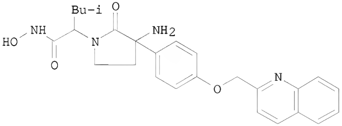


II

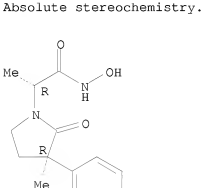
AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide containing 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepared as useful metalloprotease inhibitors. Thus, compound II was prepared via alkylation, oxidation, amination, and cyclization. [This abstract record is one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 223401-46-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel lactam metalloprotease inhibitors)

RN 223401-46-5 CA

CN 1-Pyrrolidineacetamide, N-hydroxy-α,3-dimethyl-2-oxo-3-[4-(phenylmethoxy)phenyl]-, (αR,3R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 66 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 119:97095 CA

ORIGINAL REFERENCE NO.: 119:17529a,17532a

TITLE: Colored polyester compositions

INVENTOR(S): Weaver, Max A.; Coates, Clarence A.; Parham, William W.; Hilbert, Samuel D.; Krutak, James J.; Pruett, Wayne P.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: U.S., 34 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

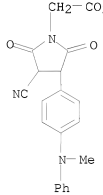
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5194571	A	19930316	US 1991-746832	19910819
US 5281659	A	19940125	US 1992-971001	19921102

PRIORITY APPLN. INFO.: US 1991-746832 A3 19910819
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The compns. have thermally stable colorants copolymd. therein, with the colorants comprising ≥1 electron-rich aromatic moiety attached to a neg. substituted 2,5-dioxypyrrolin-3-yl moiety and containing ≥1 (preferably 2) polyester-reactive groups. The colorants are used for color concs. and blends with other thermoplastics and for shaped articles therefrom.
IT 149174-93-6P
RL: PREP (Preparation)
(preparation of, violet)
RN 149174-93-6 CA
CN 1,4-Benzenedicarboxylic acid, dimethyl ester, polymer with 1,4-butanediol, 3-cyano-4-[4-(methylphenylamino)phenyl]-2,5-dioxo-1-pyrrolidineacetate (9CI) (CA INDEX NAME)

CM 1

CRN 166164-22-3
CMF C20 H17 N3 O4

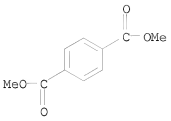


CM 2

CRN 30965-26-5
CMF (C10 H10 O4 . C4 H10 O2)x
CCI PMS

CM 3

CRN 120-61-6
CMF C10 H10 O4



CM 4

CRN 110-63-4
CMF C4 H10 O2

HO—$(CH_2)_4$—OH

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 67 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 117:79880 CA
ORIGINAL REFERENCE NO.: 117:13791a,13794a
TITLE: Data-retainable photographic film product and process for producing color print
INVENTOR(S): Ikenoue, Shinpei; Shibahara, Yoshihiko; Watanabe, Toshiyuki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 114 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 476327	A1	19920325	EP 1991-113902		19910820
EP 476327	B1	19991117			
R: DE, FR, GB, IT, NL					
JP 04100036	A	19920402	JP 1990-218712		19900820
JP 04149543	A	19920522	JP 1990-274797		19901012
JP 04166932	A	19920612	JP 1990-294729		19901031
PRIORITY APPLN. INFO.:			JP 1990-218712	A	19900820
			JP 1990-274797	A	19901012
			JP 1990-294729	A	19901031

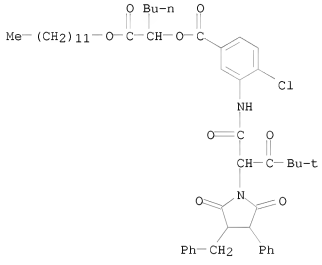
AB Color photog. film comprises a cartridge, a spool carried in the cartridge for rotation about a longitudinal axis of the spool; and a silver halide photosensitive film for color photog. that is wound into a roll around the spool and that comprises at least one red-sensitive silver halide emulsion layer, at least one green-sensitive silver halide emulsion layer and at least one blue-sensitive silver halide emulsion layer formed on a support.

The photog. film has an information-recording part, and contains a compound capable of reacting with an oxidate of a developing agent to release a diffusing development inhibitor or its precursor and/or a compound capable of reacting with an oxidate of a developing agent to form a cleaved compound capable of reacting with another mol. of the oxidate of the color developing agent to cleave a development inhibitor. At least one of the silver halide emulsion layers contains at least one kind of photosensitive silver halide grains having a high silver iodide phase therein. The film form color prints having excellent sharpness and color reproducibility. The information-recording part has ≥1 means selected from the group consisting of an optical memory means, an elec. memory means, and a magnetic memory means. The film has 200 mm2 to 1,200 mm2 of area of 1 frame to be image exposed and the information-recording part is 15-60% of this area.

IT 142554-24-3
RL: USES (Uses)
(photog. color film with information-recording part and image forming part containing)

RN 142554-24-3 CA

CN Benzoic acid, 4-chloro-3-[[2-[2,5-dioxo-3-phenyl-4-(phenylmethyl)-1-pyrrolidinyl]-4,4-dimethyl-1,3-dioxopentyl]amino]-, 1-[(dodecyloxy)carbonyl]pentyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 68 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 100:156456 CA

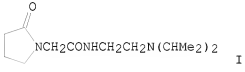
ORIGINAL REFERENCE NO.: 100:23831a,23834a

TITLE: Amnesia-reversal activity of a series of N-[(disubstituted-amino)alkyl]-2-oxo-1-pyrrolidineacetamides, including pramiracetam

AUTHOR(S): Butler, Donald E.; Nordin, Ivan C.; L'Italien, Yvon J.; Zweisler, Lynette; Poschel, Paul H.; Marriott, John G.

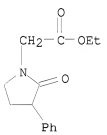
CORPORATE SOURCE: Chem. Dep., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA

SOURCE: Journal of Medicinal Chemistry (1984), 27(5), 684-91
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of 42 title compds. was prepared They reversed electroconvulsive shock induced amnesia in mice when administered subsequent to the electroshock treatment and were inactive in a general observational test for central nervous system activity. Active compds. exhibited an inverted U-shaped dose-response curve. Among the compds. with the broadest dose-response curve as well as the most potent, were the N-CH2CH2N(CHMe2)2 and 2,6-dimethylpiperidino derivs. The N-(dialkylamino)alkyl substituent markedly enhances amnesia-reversal activity, with CH2CH2 providing the optimal chain length. I was selected for preclin. toxicol. evaluation, assigned the investigational number CI-879 and the U.S. Adopted name pramiracetam. I demonstrated a wide margin of safety in animals and was well tolerated in normal human volunteers. It has shown encouraging activity in an open label trial in patients with primary degenerative dementia (or senile dementia of the Alzheimer's type).
IT 88981-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of)
RN 88981-96-8 CA
CN 1-Pyrrolidineacetic acid, 2-oxo-3-phenyl-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L6 ANSWER 69 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 93:177194 CA
ORIGINAL REFERENCE NO.: 93:28092h,28093a
TITLE: Silver halide color photographic materials
INVENTOR(S): Fujiwara, Mitsuto; Endo, Takaya; Sugita, Hiroshi; Kojima, Tamotsu; Usui, Tsugimiki
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55033102	A	19800308	JP 1978-42379	19780411

PRIORITY APPLN. INFO.: JP 1978-42379 19780411

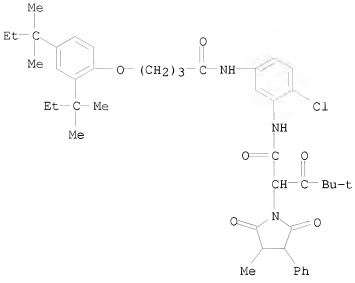
GI For diagram(s), see printed CA Issue.

AB Ag halide color photog. materials contain (1) a 2-equivalent coupler and a development inhibitor-releasing coupler of the formula I and/or II (Z = group of atoms required to form heterocyclic or C ring; R = triazole or mercapto compound type development inhibitor moiety which is bonded with the C atom via N or S atom; R1 = H, halo; R2 = halo, heterocyclic moiety; R3 = alkyl, aryl) in one of the Ag halide emulsion layers, and (2) a 5- or 6-membered heterocyclic compound with -NH- and -CO- group within the ring in the same Ag halide emulsion layer or its adjacent layer. Thus, a color photog. film having (1) red-sensitive emulsion layer containing cyan coupler III, development inhibitor-releasing coupler IV, and stabilizer V, (2) a green-sensitive emulsion layer containing 1-(2,4,6-trichlorophenyl)-3-[3-[(2,4-di-tert-amylphenoxy)acetamido]benzamido]-5-pyrazolone (magenta coupler) and 1-(2,4,6-trichlorophenyl)-3-(2-chloro-5-octadecenylsuccinimidoanilino)-4-(4-hydroxyphenylazo)-5-pyrazolone (a colored coupler), and a (3) a blue-sensitive emulsion layer containing a yellow coupler VI was prepared The film was sensitometrically exposed and developed to give relative sensitivity, fog, and Dmax (cyan) of 204, 0.12, and 2.32, resp. The sensitivity, fog, and Dmax values did not change significantly even when the film was aging treated.

IT 75237-46-6
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. coupler, stabilizers for)

RN 75237-46-6 CA

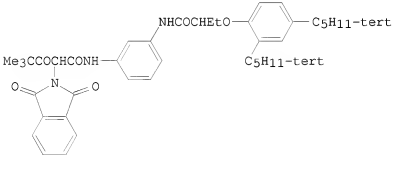
CN 1-Pyrrolidineacetamide, N-[5-[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]amino]-2-chlorophenyl]-α-(2,2-dimethyl-1-oxopropyl)-3-methyl-2,5-dioxo-4-phenyl- (CA INDEX NAME)



L6 ANSWER 70 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 93:16904 CA
ORIGINAL REFERENCE NO.: 93:2771a,2774a
TITLE: Silver halide color photographic materials
INVENTOR(S): Arai, Atsuaki; Ooishi, Kiyoshi; Okumura, Akio; Nakajo, Kyoshi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55000598	A	19800105	JP 1979-70853	19790606
JP 58010739	B	19830226		
PRIORITY APPLN. INFO.:			JP 1979-70853	19790606

GI



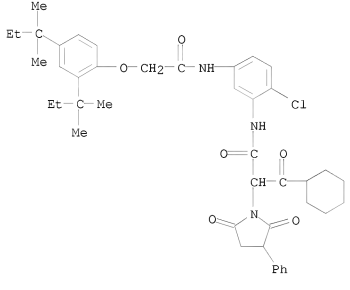
I

AB Ag halide color photog. materials contain acetamide derivs. having acylamino and aliphatic acyl groups on the α C atom as the yellow couplers. The couplers exhibit excellent coupling reactivity. Thus, a yellow coupler I 27 g was added to a Ag(Br,I) emulsion containing 54 g Ag halide, and the emulsion was coated on a film support. The resultant photog. film was sensitometrically exposed and developed to give λmax, fog, relative sensitivity, γ, and Dmax of 449 nm, 0.20, 100, 2.23, and 3.06, resp., vs. 449 nm, 0.11, 95, 0.65, and 1.87 for a control with α-pivalyl-2-chloro-5-[α-(2,4-di-tert-amylphenoxy)butyramido]acetanilide instead of I.

IT 41435-03-4
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. yellow coupler)

RN 41435-03-4 CA

CN 1-Pyrrolidineacetamide, N-[5-[[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]amino]-2-chlorophenyl]-α-(cyclohexylcarbonyl)-2,5-dioxo-3-phenyl- (CA INDEX NAME)



L6 ANSWER 71 OF 83 CA COPYRIGHT 2009 ACS on STN

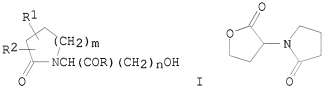
ACCESSION NUMBER:	92:76284 CA
ORIGINAL REFERENCE NO.:	92:12563a,12566a
TITLE:	Lactam-N-acetic acids and their amides
INVENTOR(S):	Rodriguez, Ludovic; Marchal, Lucien
PATENT ASSIGNEE(S):	UCB S. A., Belg.
SOURCE:	Ger. Offen., 38 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2918523	A1	19791115	DE 1979-2918523		19790508
EP 5689	A1	19791128	EP 1979-870012		19790502
EP 5689	B1	19810318			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
AT 27	T	19810415	AT 1979-870012		19790502
DK 7901823	A	19791109	DK 1979-1823		19790503
DK 150064	B	19861201			
DK 150064	C	19870615			
FI 7901421	A	19791109	FI 1979-1421		19790503
FI 66602	B	19840731			
FI 66602	C	19841112			
NO 7901477	A	19791109	NO 1979-1477		19790503
NO 150639	B	19840813			
NO 150639	C	19841121			
SE 7903864	A	19791109	SE 1979-3864		19790503
NL 7903536	A	19791112	NL 1979-3536		19790504
BE 876067	A1	19791107	BE 1979-9378		19790507
AU 7946816	A	19791115	AU 1979-46816		19790507
AU 522815	B2	19820624			
FR 2425433	A1	19791207	FR 1979-11537		19790507
ZA 7902175	A	19800528	ZA 1979-2175		19790507
US 4221789	A	19800909	US 1979-36987		19790507
PL 117056	B1	19810731	PL 1979-215420		19790507
CA 1119593	A1	19820309	CA 1979-325925		19790507
JP 54154760	A	19791206	JP 1979-56229		19790508
JP 62020982	B	19870511			
GB 2022075	A	19791212	GB 1979-15906		19790508
GB 2022075	B	19820224			
HU 20568	A2	19810828	HU 1979-UE94		19790508
HU 178362	B	19820428			
SU 1093245	A3	19840515	SU 1979-2763201		19790508
SU 969701	A1	19821030	SU 1979-2847114		19791126
PRIORITY APPLN. INFO.:			GB 1978-18160	A	19780508
			EP 1979-870012	A	19790502

OTHER SOURCE(S): MARPAT 92:76284

GI

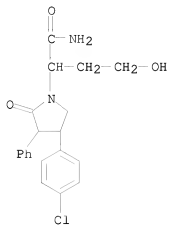


AB The title compds. I [R = OH, (substituted) NH2; R1 and R2 = alkyl, aryl, haloaryl; m = 1-3, n = 0-2] were prepared for use as antiaggressive substances and for enhancement of memory (test data tabulated). Thus, 2-pyrrolidone reacted with NaH and 3-bromodihydro-2(3H)-furanone to give II, which reacted with NH3 in MeOH to give I (R = NH2, R1 = R2 = H, m = 1, n = 2).

IT 72762-67-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

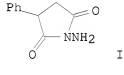
RN 72762-67-5 CA

CN 1-Pyrrolidineacetamide, 4-(4-chlorophenyl)-α-(2-hydroxyethyl)-2-oxo-3-phenyl- (CA INDEX NAME)



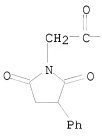
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L6 ANSWER 72 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 89:70801 CA
ORIGINAL REFERENCE NO.: 89:10819a,10822a
TITLE: Synthesis and properties of cyclic derivatives of succinic acid with anticonvulsant activity. Part 2
AUTHOR(S): Lange, J.; Rump, S.; Ilczuk, I.; Lapszewicz, J.; Rabsztyn, T.; Walczyna, K.
CORPORATE SOURCE: Inst. Org. Chem. Technol., Warsaw Tech. Univ., Warsaw, Pol.
SOURCE: Pharmazie (1977), 32(10), 579-81
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:70801
GI



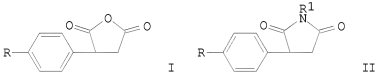
AB Of 19 phenylsuccinimide derivs. synthesized, an N-amino derivative (I) showed an effective anticonvulsant effect against electroshock seizure in mice. The ED50s for anticonvulsant activities of 8 compds. are given. The other 11 compds. had no anticonvulsant activity at the administered dose level (1/4 LD50). The strongest anticonvulsant activities were exhibited by those compds. which had MeO- or -NH2 groups attached to the imide N. Synthesis scheme and acute toxicity data for the compds. are given.
IT 64505-33-5P
RL: ADV (Adverse effect, including toxicity); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)
(preparation and anticonvulsant activity and toxicity of)
RN 64505-33-5 CA
CN 1-Pyrrolidineacetic acid, 2,5-dioxo-3-phenyl-, ethyl ester (CA INDEX NAME)

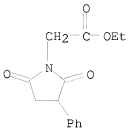


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 73 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 87:168375 CA
ORIGINAL REFERENCE NO.: 87:26627a,26630a
TITLE: Derivatives of dicarboxylic acids. XLIII. Substituted succinimides containing glycine and D-α-alanylglycine
AUTHOR(S): Mndzhoyan, O. L.; Avetisyan, S. A.; Azaryan, L. V.
CORPORATE SOURCE: Inst. Tonkoi Org. Khim. im. Mndzhoyana, Yerevan, USSR
SOURCE: Armyanskii Khimicheskii Zhurnal (1977), 30(6), 477-82
CODEN: AYKZAN; ISSN: 0515-9628
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



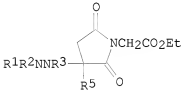
AB Succinic anhydrides I (R = H, NO2, Me2CHO) reacted with H-X-OEt (X = Gly, D-Ala-Gly) to give 4-RC6H4CH(CO2H)CH2CO-X-OEt and 4-RC6H4CH(CH2CO2H)CO-X-OEt, which were cyclized by Ac2O to II (R1 = CH2CO2Et, CHMeCONHCH2CO2Et).
IT 64505-33-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64505-33-5 CA
CN 1-Pyrrolidineacetic acid, 2,5-dioxo-3-phenyl-, ethyl ester (CA INDEX NAME)



L6 ANSWER 74 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 87:39268 CA
ORIGINAL REFERENCE NO.: 87:6187a,6190a
TITLE: Hydrazinocarboxamide derivatives
INVENTOR(S): Failli, Amedeo; Nelson, Verner R.; Immer, Hans U.; Gotz, Manfred K.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 10 pp. Division of U.S. 3,888,840.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4000122	A	19761228	US 1975-565332	19750407
US 3888840	A	19750610	US 1973-330359	19730207
PRIORITY APPLN. INFO.:			US 1973-330359	A3 19730207

GI

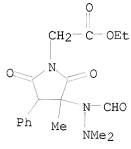


II

AB Hydrazinoalkanamides R1R2NNR3CR4R5CONHR6 (I; R1, R2 = alkyl; R1R2N = piperidino, morpholino; R3 = H, acyl, aroyl; R4 = alkyl, carboxyalkyl or esterified carboxyalkyl; R5 = H, alkyl, CR4R5 = cyclohexylidene; R6 = cyclohexyl, carboxyalkyl, carbamidoalkyl), useful as antibacterials, were prepared by reaction of hydrazones R1R2NN:CR4R5 with acids R3X (formic, benzoic, etc.) and isonitriles R6NC. Thus, a solution of Et levulinate dimethylhydrazone and cyclohexyl isonitrile in CH2Cl2 was treated with formic acid to give I (R1 = R2 = R5 = Me, R3 = HCO, R4 = CH2CH2CO2Et, R6 = cyclohexyl). I in which R4 and R6 = e.g., CH2CO2Et easily cyclized to succinimides II, also antibacterials.

IT 43041-49-2P
RL: SPN (Synthetic preparation); PREP (Preparation)

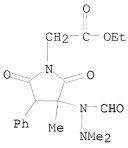
(preparation and bactericidal properties of)
RN 43041-49-2 CA
CN 1-Pyrrolidineacetic acid, 3-(1-formyl-2,2-dimethylhydrazinyl)-3-methyl-2,5-dioxo-4-phenyl-, ethyl ester (CA INDEX NAME)



L6 ANSWER 75 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 84:31498 CA
ORIGINAL REFERENCE NO.: 84:5161a,5164a
TITLE: Hydrazinocarboxamide derivatives
INVENTOR(S): Failli, Amedeo; Nelson, Verner R.; Immer, Hans U.; Gotz, Manfred K.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3888840	A	19750610	US 1973-330359	19730207
US 4000122	A	19761228	US 1975-565332	19750407
PRIORITY APPLN. INFO.:			US 1973-330359	A3 19730207

GI For diagram(s), see printed CA Issue.
AB Condensation of Me2NN:CRR1 [R = Me, R1 = CH2CO2Et, CH2CH2CO2Et, CHPhCO2Et; R = H, R1 = Me2CH; RR1 = (CH2)5] with R2OH (R2 = HCO, Bz, 4-O2NC6H4CO, PhCH2O2C-Gly-Gly, Me3CO2C-Gly, Me3CO2C-Phe) and isonitriles CNR3 [R3 = cyclohexyl, MePh(MeO2C)C, EtO2CCH2, MeSCH2CH2(EtO2C)CH] gave the peptides Me2NNR2CRR1CONHR3 (I); cyclization of I (R1 = CH2CO2Et, CHPhCO2Et) gave the pyrrolidinediones II (R4 = H, Ph). The heterocyclic analogs III and IV (X = N, O) were prepared similarly. Thus, condensation of Me2NN:CHCHMe2, Me3CO2C-Gly-OH, and MeSCH2CH2(EtO2C)CHNC gave N-[N-dimethylamino-N-tert-butoxycarbonylglycyl-DL-valyl]-DL-methionine Et ester, and condensation-cyclization of Me2NN:CMeCH2CO2Et, HOAc, and EtO2CCH2NC gave II (R = Me, R2 = Ac, R3 = CH2CO2Et, R4 = H). These compds. possessed antibacterial and trichomonacidal activity (no data).
IT 43041-49-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 43041-49-2 CA
CN 1-Pyrrolidineacetic acid, 3-(1-formyl-2,2-dimethylhydrazinyl)-3-methyl-2,5-dioxo-4-phenyl-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 76 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 83:170910 CA
ORIGINAL REFERENCE NO.: 83:26751a,26754a
TITLE: High-speed photosensitive resin compositions
INVENTOR(S): Ichimura, Kunihiro
PATENT ASSIGNEE(S): Agency of Industrial Sciences and Technology, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50050107	A	19750506	JP 1973-99454	19730904
JP 51013042	B	19760424		

PRIORITY APPLN. INFO.: JP 1973-99454 A 19730904

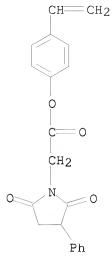
AB High-speed photosensitive resin compns., which are suitable for use in printing plates, are obtained by adding to a linear polymer containing the phenylmaleimido moiety ≥1 compound selected from 5-nitroacenaphthene, nitronaphthalene, dinitronaphthalene, trinitrofluorenone, anthraquinone, and β-methylanthraquinone as sensitizer. Thus, a 5% ClCH2CH2Cl solution of a copolymer of styrene and p-[(α-phenylmaleimido)acetoxy]styrene, obtained by treating a 1:1 copolymer of styrene and p-hydroxystyrene with α-phenylmaleimidoacetyl chloride, was mixed with 5-nitroacenaphthene (I) 10-15%. The resultant solution was coated on a roughened Al plate. The plate obtained showed a relative sensitivity 2.7 times that of the resin not containing I which already had a sensitivity comparable to a poly(vinyl cinnamate) resin sensitized with I.

IT 56959-06-9
RL: USES (Uses)
(photosensitive resin compns. containing, for photog. and printing plates)

RN 56959-06-9 CA
CN 1-Pyrrolidineacetic acid, 2,5-dioxo-3-phenyl-, 4-ethenylphenyl ester, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

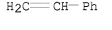
CM 1

CRN 56959-05-8
CMF C20 H17 N O4

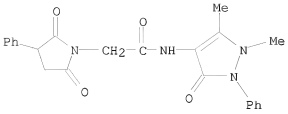


CM 2

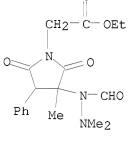
CRN 100-42-5
CMF C8 H8



L6 ANSWER 77 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 82:139999 CA
ORIGINAL REFERENCE NO.: 82:22367a,22370a
TITLE: Synthesis of certain new acylaminoantipyrines. II
AUTHOR(S): El-Zanfally, S.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1974), 15(1), 73-80
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 82:139999
GI For diagram(s), see printed CA Issue.
AB Antipyrine derivs. I (R = p-AcNHC6H4O, p-H2NC6H4CO2, m-H2NC6H4CO2, o-HOC6H4CO2, nicotinoyloxy, p-aminosalicyloyloxy, glutarimido, succinimido, phthalimido, saccharino, 5,5-dimethyl-3-hydantoinyl, 5,5-diphenyl-3-hydantoinyl, 5-ethyl-5-methyl-3-hydantoinyl) and the barbituric acid derivs. II (R1 = Et, Ph) were prepared by treating 4-aminoantipyrine with BrCH2COBr and treating I (R = Br) with the Na salt of the acid or the N-Na derivative of the imide.
IT 54806-84-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 54806-84-7 CA
CN 1-Pyrrolidineacetamide, N-(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)-2,5-dioxo-3-phenyl- (CA INDEX NAME)



L6 ANSWER 78 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 79:115875 CA
ORIGINAL REFERENCE NO.: 79:18827a,18830a
TITLE: Model experiments directed towards the synthesis of N-aminopeptides
AUTHOR(S): Failli, Amadeo; Nelson, Vern; Immer, Hans; Goetz, Manfred
CORPORATE SOURCE: Dep. Chem., Ayerst Res. Lab., Montreal, QC, Can.
SOURCE: Canadian Journal of Chemistry (1973), 51(16), 2769-75
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Modified peptides containing a 1,1-disubstituted hydrazide [I: R = H, Ph, p-(NO2)Ph, PhCH2O2CNHCH2CONHCH2; R1 = CH2CO2Et, CH(CO2Me)CH2Ph], not previously described, were prepared by the Ugi reaction. Use of CH3C(CH2CO2Et):NNMe2 in the reaction gave products which underwent ring closure to give 2,5-dioxopyrrolidines (II).
IT 43041-49-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 43041-49-2 CA
CN 1-Pyrrolidineacetic acid, 3-(1-formyl-2,2-dimethylhydrazinyl)-3-methyl-2,5-dioxo-4-phenyl-, ethyl ester (CA INDEX NAME)



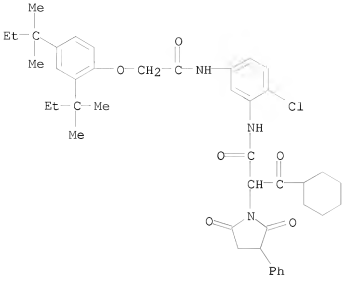
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 79 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 78:117602 CA
ORIGINAL REFERENCE NO.: 78:18847a,18850a
TITLE: (Diacylamino)acetanilides as yellow photographic color formers
INVENTOR(S): Arai, Atsuaki; Oishi, Yasushi; Okumura, Akio; Nakazyo,

Kiyoshi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd.
SOURCE: Ger. Offen., 122 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2213461	A	19721130	DE 1972-2213461	19720320
DE 2213461	C2	19870702		
AU 7240178	A	19730927	AU 1972-40178	19720320
GB 1386151	A	19750305	GB 1972-13030	19720320
CA 1041345	A1	19781031	CA 1972-137466	19720320
US 4404274	A	19830913	US 1981-251561	19810406

PRIORITY APPLN. INFO.: JP 1971-15997 A 19710320
US 1972-235937 A1 19720320
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 78:117602
GI For diagram(s), see printed CA Issue.
AB Group reduces the coupling requirement from 4 moles Ag halide to 2 moles. The color formers have the advantages of high coupling activity, bleachability in Fe-EDTA baths without strong oxidant, and of dye images with high fastness to light and humidity. Thus, by refluxing α-pivalyl-α-chloro-5-[α-(2,4-di-tert-amylphenoxy) butyramido]-2-chloroacetanilide with phthalimide in MeCN in the presence of Et3N a Cl was replaced to form I. The coupler was dissolved at 70° in a mixture of di-Bu phthalate and cyclohexanone, dispersed in aqueous gelatin, coated as 7 μ Ag halide emulsion layer, imagewise exposed, and processed. The characteristics of the resulting image (absorption maximum 449 nm) were (vs. those obtained using the coupler without phthalimide substitution): relative speed 100 (95), Dmax. 3.06 (1.87), γ 2.23 (0.65), and fog 0.20 (0.11).
IT 41435-03-4
RL: USES (Uses)
(photographic yellow couplers)
RN 41435-03-4 CA
CN 1-Pyrrolidineacetamide, N-[5-[[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]amino]-2-chlorophenyl]-α-(cyclohexylcarbonyl)-2,5-dioxo-3-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

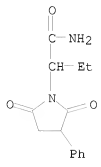
L6 ANSWER 80 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 64:103832 CA
ORIGINAL REFERENCE NO.: 64:19480g-h,19481a-e
TITLE: Compounds structurally related to α-phthalimidoglutarimide (thalidomide). II. Synthesis and pharmacological properties of a-acylimidobutyramides
AUTHOR(S): Bianchi, M.; Barzaghi, F.
CORPORATE SOURCE: Lab. Ric. "Vister," Casatenovo Brianza, Italy
SOURCE: Farmaco, Edizione Scientifica (1965), 20(11), 764-80
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB cf. CA 64, 125943. The depressant action on the central nervous system displayed by α phthalimidobutyramide (I) (ibid. (9), 611-28) structurally related to thalidomide, prompted the synthesis of the title compds. An equimol. mixture of EtCH(NH2)CO2H (II) and a substituted phthalic anhydride, melted 20 min. at 160°, gave the following III (R2 = OH) (IV) (R, R1, m.p. purified compds., and % yield given): H, PhCH2O, 155-6°, 88; NO2, H, 154-5°, 90; H, NO2, 163-4°, 92 (IVa); Cl, H, --, --; H, Cl, --, --; MeO, H, --, --; H, MeO, --, --. By a similar procedure, II and an appropriate anhydride gave the following RCHEtCOR1 (V) (R1 = OH) (VI) (R, m.p., and % yield given): tetrahydrophthalimido, 127-8°, 76 (VIa); hexahydrophthalimido, b0·1 168-70°, -- (VIb); 1,8-naphthalimido, 220-2°, 20 (the reaction was carried out in refluxing tetralin) (VIc); homophthalimido, 163-5°, 40 (VId). 4-Benzoyl phthalic anhydride was prepared as follows. To 1.56 g. Na in 380 ml. anhydrous EtOH, 14.5 g. di-Me 4-hydroxyphthalate, then 8.7 g. PhCH2Cl added and the mixture refluxed 7 hrs. gave 16 g. crude di-Me 4-benzyloxyphthalate, b0·2 155-60° which refluxed in alc. KOH 1.5 hrs. yielded 12.8 g.

4-benzyloxyphthalic acid, m. 196-7°, eventually melted 10 min. at 195° to give 81.5% of the desired anhydride, m. 131-2°. A mixture of 10 g. IV and 30 ml. SOCl2, heated at 60-5° until solution, the excess of SOCl2 distilled, the residue dissolved in Et2O, and dry NH3 bubbled into the resulting solution gave the following III (R2 = NH2) (VII) (R, R1, m.p. and % yield given): H, PhCH2O, 148-50°, 80 (VIIa); NO2, H, 165-6°, 69 (VIIb); H, NO2, 157-9°, 68 (VIIc); Cl, H, 157-9°, 69 (VIId); H, Cl, 176-8°, 54 (VIIe); MeO, H, 160-2°, 68; H, MeO, 171-2°, 62; H, OH, 205-6°, 74.5 (from VIIa) (VIIf); NH2, H, 170-1°, 43 (from VIIb) (VIIg); H, NHAc, 194-5°, 53.5 (from VIIc) (VIIh). VIIf, VIIg were obtained by hydrogenation with 10% Pd-C in MeOH of VIIa or VIIb, resp. By the same procedure VIIc was reduced to the corresponding amino derivative which treated with Ac2O at 40-5° gave VIIh. VIIh was also prepared by reducing catalytically IVa to 92% IV (R = H, R1 = NH2), m. 182-4°; its N-acetyl derivative, m. 227°, treated with SOCl2 then with dry NH3 gave 28.5% VIIh. By the method employed for VII the following V (R1 = NH2) (VIII) were prepared (starting VI, m.p., and % yield given): VIa, 154-5°, 54; VIb, 160-1°, 46; VIc, 248-50°, 62; VId, 194-6°, 27. Similarly, α-homophthalimidopropionamide, m. 237-40°, was obtained from the corresponding acid, m. 188-90°, which was prepared by melting an equimol. mixture of homophthalic anhydride and dl-alanine (IX). IX (2.67 g.), 5.28 g. phenylsuccinic anhydride (X), and 25 ml. C5H5N refluxed 2.5 hrs., the residue treated with dilute NaHCO3, extracted with Et2O and the sq. layer acidified, gave an oil, b0·1 180-4°, which treated with SOCl2 then with dry NH3 in C6H6 yielded 19% α-phenylsuccinimidopropionamide, m. 208-10°. By the same procedure, II and X gave an oil, b0·2 180-90°, which treated with SOCl2, then with dry NH3 yielded 36% VIII (R = α-phenylsuccinimido), m. 156-8°. The Et ester of II as HCl salt treated in C5H5N with 30% excess RCOCl and the mixture allowed to stand overnight, gave the following RCONHCHEtCOR1 (XI) (R1 = OEt) (XII) (R, m.p., and % yield given): 4-ClC6H4, 79-81°, 71 (XIIa); 4-MeOC6H4, 84-5°, 82 (XIIb); 3,4,5-(MeO)3-C6H2, 105-6°, 67 (XIIc). A solution of 15 g. XII in 120 ml. EtOH saturated at 0° with dry NH3 and heated 85 hrs. at 60° in a sealed tube, yielded the following XI (R1 = NH2) (starting XII, m.p. and % yield given): XIIa, 210-12°, 54.5; XIIb, 209-10°, 56; XIIc, 240-1°, 99. The pharmacol. results indicated that the glutarimidic group is less important than the phthalic group for the depressant action on the central nervous system. Among the products synthesized, VIId and VIIe exhibited an activity comparable to that of I.

IT 3830-15-7P, 1-Pyrrolidineacetamide, α-ethyl-2,5-dioxo-3-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 3830-15-7 CA

CN 1-Pyrrolidineacetamide, α-ethyl-2,5-dioxo-3-phenyl- (CA INDEX NAME)



L6 ANSWER 81 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 52:11119 CA
ORIGINAL REFERENCE NO.: 52:2007i,2008a-i,2009a-b
TITLE: Synthesis of methyl 6-phenyl-3-methyl-3-azapimelate
AUTHOR(S): Koelsch, C. F.; Robinson, Franklin M.
CORPORATE SOURCE: Univ. of Minnesota, Minneapolis
SOURCE: Journal of Organic Chemistry (1956), 21, 1211-13
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 52:11119

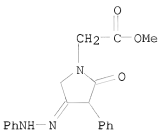
AB Methyleneaminoacetonitrile (245 g.) and 0.9 ml. concentrated HCl was added to 103 g. HCN which had been frozen in a 2-l. flask, the flask closed tightly and kept at room temperature 6 days, excess HCN removed in vacuo, and the brown residue dissolved in 500 ml. hot EtOAc and boiled 2 min. with Norit. On addition of 200 ml. C6H6, a small amount of tar precipitated and cooling to 0° gave 229 g. slightly brown HN(CH2CN)2 (I), m. 75-8°. An addnl. 31 g. I was obtained by concentration of the mother liquor, m. 77-9° (H2O or EtOAc-C6H6). To 430 g. HCl in 2 l. MeOH was added 272 g. I (uncrystd.) in small portions while the mixture was stirred and cooled over 45 min., 105 ml. H2O added, the mixture boiled 2 hrs., treated with an addnl. 200 ml. 27% HCl-MeOH and boiled 1.5 hrs. longer, NH4Cl removed by filtration of the hot mixture and washed with 400 ml. hot MeOH, and the solution cooled to -15° overnight to give 87% (MeO2CCH2)2NH.HCl (II), m. 166-70°. The product contained some NH4Cl, but was pure enough for acylation. Crystallization from MeOH gave pure II, m. 177-8° (decomposition). II (39.6 g.) in 100 ml. H2O was added to a cold stirred mixture of 120 ml. H2O and 37 g. NaHCO3, 34 g. PhCH2COCl added at -5 to 0° during 20 min., stirring continued an hr., the product washed with H2O, and recrystd. from MeOH-H2O gave 84-91% Me N-phenylacetyliminodiacetate (III), m. 82-2.5°. NaOMe was prepared from 4.1 g. powdered Na and 15 ml. MeOH in 120 ml. PhMe. To this was added 46 g. III and the mixture distilled slowly until no more MeOH was obtained. The solid washed with Et2O, stirred into 20 ml. HCl in 200 ml. H2O, and the crude product crystallized from 1:2 MeOH-H2O afforded 81% Me 2,4-dioxo-3-phenylpyrrolidylacetate (IV), m. 157-8°; phenylhydrazone, m. 183-4° (decomposition). With alc. FeCl3, IV gave a dark green color that became violet when H2O was added. When cyclization of III was carried out using NaOEt in PhMe, ester interchange occurred and IV Et ester formed, m. 149-50° (EtOAc). When the Na salt obtained by cyclization of III was allowed to stand in H2O, ester hydrolysis occurred giving 2,4-dioxo-3-phenylpyrrolidylacetic acid (V), m. 238-9° (dilute AcOH). V was better obtained by boiling

3 g. IV in 20 ml. 10% NaOH and acidifying with HCl. V was reconverted to III in 20% yield by boiling with 10% methanolic HCl. IV (37 g.) in 200 ml. MeOH containing 4 g. Raney Ni was shaken under 30-40 lb. H at 50°. After 22 hrs. fresh catalyst was added and hydrogenation continued an addnl. 18 hrs. Distillation gave 29 g. Me 3-phenyl-2-pyrrolidone-N-acetate (VI), b5 186-7°, n26D 1.5378. Saponification of VI gave 3-phenyl-2-pyrrolidone-N-acetic acid (VIa) hydrate, m. 62-4° (50% AcOH), anhydrous VIa, m. 108-9° (C6H6). When hydrogenation of 13 g. IV was stopped after one equivalent H had been taken up and MeOH removed in vacuo, an oil containing some alkali-insol. solid was obtained. Treatment with cold Et2O left 2.8 g. crude product, recrystd. from dilute MeOH, giving Me 4-hydroxy-3-phenyl-2-pyrrolidone-N-acetate (VII), m. 145-6°. Dehydration of 2.4 g. VII by boiling with 20 ml. Ac2O and 1 g. KOAc 25 hrs. gave 0.5 g. Me 3-phenyl-A3-2-pyrrolone-N-acetate (VIII), yellow crystals, m. 80-1° (C6H6). VIII (0.9 g.) in MeOH was shaken with C, then Raney Ni and finally reduced in the presence of colloidal Pd giving 4 fractions, the 3rd fraction being identical with VI. VI (18.2 g.) in 65 ml. 42% HBr was boiled 7 hrs., distilled until the solution b. 122°, boiled 1 hr. more, evaporated in vacuo, the residue dissolved in 35 ml. H2O, adjusted to pH 6 with 10% NaOH, and kept at 0° several hrs. gave 10.1 g. 6-phenyl-3-azapimelic acid (IX), m. 164-5° (decomposition) (H2O). Acidification of the mother liquors gave 4.8 g. VIa hydrate, m. 60-4°. IX and PhSO2Cl formed 3-benzenesulfonyl-6-phenyl-3-azapimelic acid, m. 148-50° (C6H6-EtOAc). IX (10.1 g.), 20 g. formalin, and 50 g. 90% HCO2H boiled 11 hrs., distilled to dryness in vacuo, the residue dissolved in 50 ml. MeOH saturated with HCl, boiled 1 hr., the MeOH removed in vacuo, and 20 ml. ice H2O added followed by cold NaOH solution and Et2O gave 5 g. Me 3-methyl-6-phenyl-3-azapimelate (X), b10 180-5°, n26D 1.4981; picrolonate, yellow, m. 158-9° (decomposition). Treatment of 47.5 g. I in 250 ml. cold H2O with 46 g. NaHCO3 and 80 g. PhCH2COCl afforded 61% N-phenylacetimidodiacetonitrile (XI), m. 128-9° (alc. or EtOAc). Attempts to hydrolyze or alcoholize the CN groups always led to removal of the PhCH2CO group. XI (5 g.) in 25 ml. MeOH was treated with 0.5 ml. 23% NaOMe in MeOH, the mixture warmed until solution occurred, boiled 1 min., cooled rapidly, distilled to dryness in vacuo at 15°, and the dark product crystallized 5 times from dilute EtOH giving 0.5 g. 3-phenyl-4-imino-2-pyrrolidone-N-acetonitrile (XII), m. 2356° (decomposition). A similar experiment in which the basic catalyst was neutralized with HCl before removal of solvent gave no iminonitrile but only a small amount of 2,4-dioxo-3-phenylpyrrolidylacetamide, (XIII), m. 179-80° (alc.). This amide was not obtained when 5 g. IV was kept 2 days at room temperature in 30 ml. concentrated NH4OH. Instead, 3 g. 4-imino-3-phenyl-2-pyrrolidone-N-acetic acid (XIV), plates, m. 239-41° (EtOH), was isolated. XII, XIII, and XIV were all converted into IV on treatment with methanolic HCl and into V with aqueous HCl. X was prepared for possible conversion into piperidones useful in a projected morphine synthesis.

IT 101891-18-3
RL: PREP (Preparation)
(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 101891-18-3 CA

CN 1-Pyrrolidineacetic acid, 2-oxo-3-phenyl-4-(2-phenylhydrazinylidene)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 82 OF 83 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 52:11118 CA
ORIGINAL REFERENCE NO.: 52:2007c-i
TITLE: Substituted 1,10-phenanthrolines. X. Ethyl derivatives
AUTHOR(S): Case, F. H.; Jacobs, Z. B.; Cook, R. S.; Dickstein, J.
CORPORATE SOURCE: Temple Univ., Philadelphia, PA
SOURCE: Journal of Organic Chemistry (1957), 22, 390-3
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 52:11118

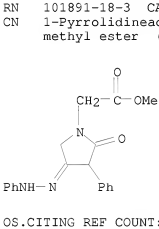
AB cf. preceding abstract p-EtC6H4NHAc (33.7 g.) added in 1- to 2-g. portions to 122 g. HNO3, the material cooled when the temperature rose to 40°, the addition continued so that the temperature was maintained at 35-40°, the mixture left 15 min., poured on ice, extracted with 100 ml. C6H6, and the exts. dried gave 32.3 g. 4,2-Et(O2N)C6H3NHAc, m. 45-7° (ligroine). o-EtC6H4NH2 (153 g.) added during 1 hr. at 20-30° to 1215 g. 40% HBr, the slurry cooled to 5°, 121 g. NaNO2 added during 1 hr. at 5-10°, the mixture heated to 30° with 5 g. Cu powder, the temperature kept 1 hr. at 15° after decomposition started, then raised 0.5 hr. to 90-5°, H2O added, the mixture steam-distilled, and the distillate made alkaline and extracted with C6H6 gave 111 g. o-EtC6H4Br, b8 64°, n20D 1.5487; acidification of the alkaline washings yielded 29 g. o-EtC6H4OH, b13 88-90°, 77.8 g. of which in 200 ml. Et2O added slowly to 12.4 g. Mg, the mixture refluxed 2 hrs., 126 g. Et2SO4 in Et2O added to maintain mild reflux, the mixture refluxed a further 2 hrs., poured into ice-cold 10% H2SO4, and the washed (H2SO4, H2O) and dried (Na2SO4) Et2O layer fractionally distilled gave 32.5 g. o-C6H4Et2, b17 70-3°, n20D 1.5033. A number of substituted 8-nitroquinolines (I) and substituted 1,10-phenanthrolines (II) and intermediates were prepared by the following general procedures. (A) The appropriate aromatic amine (1 mole), 1 mole H3AsO4.0.5H2O (III), 4 moles 96.8% H2SO4, and a volume of H2O equal to 1/3 the volume of H2O was treated at 100° with 3.5 moles glycerol (IV) or 2 moles ClCH2CH2Ac (V) at such a rate that the temperature remained below 140°, heated 2 hrs. longer, poured into water, made alkaline, both the filtrate and the precipitate extracted with hot C6H6, the C6H6 removed, and the II recrystd. from C6H6-petr. ether, except the 5,6-di-Et compound, for which petr. ether alone was used. (B) The aromatic amine (1 mole), 2 moles III, and 85% H3PO4 (100 ml./1. amine) at 100° was treated with 1.3 moles V or 2 moles CH2:CEtCHO (VI) so that the temperature did not exceed 105°, kept at this temperature 0.5 hr. longer, poured on ice,

neutralized with concentrated NH4OH, the precipitate and filtrate extracted with hot C6H6,
and the exts. evaporated to dryness; the I were crystallized from the solvents indicated, the 3,8-diethylphenanthroline from C6H6-petr. ether. The results are shown below. I (substituent in I, method, substituent in 1st component (aniline), 2nd component, m.p., % yield of I, and crystallization solvent given): 3-Et, B, 2-O2N, VI, 89-90, 23, MeOH; 4-Et, B, 2-O2N, V, 96-7°, 55, C6H6-petr. ether; 6-Et, A, 4,2-Et(O2N), IV, 82-3°, 55, EtOH-H2O; 4,6-Et2, B, 4,2-Et(O2N) (Ac derivative), V, 84.5°, 46, C6H6-petr. ether; 5,6-Et2, A, 4,5,2-Et2(O2N), IV, 95-6°, 63, petr. ether. II (substituents in II, method, substituents in 1st component (8-aminoquinoline), 2nd component, and m.p. and % yield of II given): 3-Et, A, 3-Et, IV, 144-5°, 47; 4-Et, A, 4-Et, IV, 108-9°, 18; 5-Et, A, 6-Et, IV, 80-1°, 14; 3,8-Et2, B, 3-Et, VI, 112-13°, 16; 4,6-Et2, A, 4,6-Et2, IV, 130-1°, 19; 4,7-Et2, A, 4-Et, V, 116-17°, 27; 5,6-Et2, A, 5,6-Et2, IV, 161-2°, 44. Catalytic reduction of the II (except the 4,6-Et2 derivative for which SnCl2 in alc. was used as the reducing agent) with PtO2 gave the corresponding the 8-aminoquinolines; the 3-Et, b2 151-4°, 4-Et, m. 60-1°, and 6-Et derivative, b6 161-2°, were prepared

IT 101891-18-3
(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 101891-18-3 CA

CN 1-Pyrrolidineacetic acid, 2-oxo-3-phenyl-4-(2-phenylhydrazinylidene)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 83 OF 83 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 47:51524 CA

ORIGINAL REFERENCE NO.: 47:8733f-i,8734a-e

TITLE: The condensation products of oxalyl chloride with monosubstituted amides: structure and reactions

AUTHOR(S): Sheehan, John C.; Corey, Elias J.

CORPORATE SOURCE: Massachusetts Inst. of Technol., Cambridge

SOURCE: Journal of the American Chemical Society (1952), 74, 360-5
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

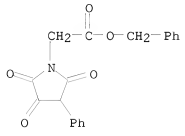
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. C.A. 45, 1115d. A study was made of the reaction products of (COCl)2 with phenylacetamides and the methods by which the resulting heterocyclic system can be degraded to the original monosubstituted amides. The correctness of the 2-benzylideneoxazolidine-4,5-dione (I) structures

assigned to the condensation products was established by the preparation of the isomeric pyrrolidine-2,3,5-triones, which represent the alternative formulation. The triones are formed readily only by base-catalyzed cyclization. Aminolysis represents the most practical procedure for obtaining phenylacetamides from I. The possible application of 2-benzylidene-4,5-dioxo-3-oxazolidineacetyl chloride (II) in the indirect synthesis of benzylpenicillin and its analogs is discussed. II (1.50 g.) in 10 cc. each dioxane and C6H6 at 5° treated dropwise with 1.05 g. PhNH2 in 5 cc. C6H6 and the mixture let stand 20 min. yielded 1.55 g. 2-benzylidene-4,5-dioxo-3-oxazolidineacetanilide (III), fine yellow needles, m. 260-2° (in bath at 250°). Benzyl phenaceturate (IIIA) (8.49 g.) in 30 cc. dioxane containing 6.0 cc. (COCl)2 let stand 2 hrs. yielded 8.30 g. benzyl 2-benzylidene-4,5-dioxo-3-oxazolideneacetate (IV), fine yellow needles, m. 178-9° (all m.ps. corrected). II (0.100 g.), 0.0406 g. PhCH2OH, and 0.0298 g. pyridine let react 15 min. in 20 cc. C6H6, the mixture filtered, and the filtrate diluted with 40 cc. petr. ether yielded 0.070 g. IV, m. 177.5-80°. III (0.200 g.) in 10 cc. Me2CO treated with 17.34 cc. 0.1074N NaOH, the solution warmed on the steam bath, cooled, extracted with CHCl3, and the CHCl3 evaporated yielded 0.065 g. PhCH2CONHCH2CONHPh, m. 154-6°. The aqueous solution upon acidification and extraction with CH2Cl2 yielded a yellow oil. III (0.400 g.) in 35 cc. dioxane treated during 45 min. with 12.0 cc. 0.1074N NaOH, and the solution concentrated to 5 cc., dissolved in 15 cc. water, and extracted with Et2O yielded 0.118 g. CO.CO.CHPh.CO.NR(V)(R = CH2CONHPh), yellow needles, m. 236.5-7.5° (in bath at 230°), IV (0.500 g.) in 40 cc. Me2CO treated with 28 cc. 0.1074N NaOH at 0°, the solution let stand 30 min. at room temperature, concentrated in vacuo, extracted with CHCl3, the aqueous solution acidified, extracted with CHCl3, and the CHCl3 evaporated yielded 0.012 g. V, R = CH2CO2CH2Ph) (VI), m. 134-6°. IV (1.00 g.), 25 cc. absolute EtOH, and 1 drop of pyridine heated 13 min. on the steam bath, titrated during 8 min. with 26 cc. 0.1074N NaOH, the solution extracted with CHCl3, and the CHCl3 evaporated yielded 0.125 g. IIIA, m. 90-3.2°; acidification of the aqueous solution from the extraction yielded 0.470 g. VI m. 137.8-8.4°; 2,4-dinitrophenylhydrazone, m. 243.2-4.3°. VI (0.050 g.) in 3 cc. Et2O with 0.030 g. Ph2CN2 yielded 0.040 g. benzhydryl enol ether of VI, m. 128.5-9.5°. VI (1.25 g.) in 10 cc. absolute EtOH containing a trace of pyridine heated 13 min. on the steam bath and the solution let stand 1 hr. at 5° yielded 1.05 g. PhCH2CON(OCCO2Et)CH2CO2CH2Ph (VII), m. 100-100.7°; the filtrate yielded 0.160 g. VI, m. 137-7.8°. The tert-Bu ester from IV m. 126.3-7.5°. Ethanolysis of Me 2-benzylidene-4,5-dioxo-3-oxazolideneacetate, m. 188.5-9°, (15 min.) yielded Me β-ethoxalylphenaceturate, m. 97.3-8.5°, and Me 3-phenyl-2,4,5-trioxopyrrolidineacetate, m. 134.2-5.3°. VII (0.630 g.) in 20 cc. dioxane treated with 0.045 powdered NaH, the mixture refluxed 40 min. under N, and the solution concentrated to an oil yielded 0.380 g. VI, m. 137.4-8.4°. IV after the same treatment was recovered unchanged. VII (0.100 g.) in 5 cc. EtOH and 1.5 cc. dioxane at 0° treated 5 min. with 0.261 cc. N NaOH, and the solution diluted with water and extracted with CHCl3 yielded 0.020 g. IIIA, m. 94-5°. IV (0.350 g.) in 10 cc. C6H6 with 0.242 g. PhCH2NH2 yielded 0.275 g. N,N'-dibenzyloxamide (VIII) m. 220.5-2°; the filtrate on concentration gave 0.200 g. IIIA. IV with PhNHNH2 yielded 69% IIIA. IV with MeNH2 after 12 days yielded 53% IIIA and 71.5% (CONHMe)2. III (0.300 g.) in 10 cc. dioxane and 15 cc. C6H6 treated 12 hrs. with PhCH2NH2 yielded 96% VIII, m. 220-2°, and

61% PhCH2CONHCH2CONHPh, m. 158-62°.
IT 1081533-97-2P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(The condensation products of oxalyl chloride with monosubstituted amides: structure and reactions)
RN 1081533-97-2 CA
CN 1-Pyrrolidineacetic acid, 2,3,5-trioxo-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 09:47:47 ON 30 DEC 2009)

FILE 'REGISTRY' ENTERED AT 09:48:44 ON 30 DEC 2009
L1 STRUCTURE UPLOADED
L2 50 S L1 SAM
L3 STRUCTURE UPLOADED
L4 50 S L3 SAM
L5 11832 S L3 FULL

FILE 'CA' ENTERED AT 09:51:00 ON 30 DEC 2009
L6 83 S L5

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 09:53:11 ON 30 DEC 2009